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Request for grant of a patent

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The Patent Office

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1. Your reference

PPD 70313/GB/P

2. Patent application number

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0328908.9

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3. Full name, address and postcode of the or of each applicant (underline all surnames)

SYNGENTA PARTICIPATIONS AG
Intellectual Property Department
Schwarzwaldallee 215
4058 Basel
SWITZERLAND

Patents ADP number (if you know it)

If the applicant is a corporate body, give the country/state of its incorporation

8029555001

4. Title of the invention

CHEMICAL COMPOUNDS

5. Name of your agent (if you have one)

"Address for service" in the United Kingdom to which all correspondence should be sent (including the postcode)

John Richard WATERMAN
Intellectual Property Department
Syngenta Limited
Jealott's Hill International Research Centre
PO Box 3538
Bracknell, Berkshire, RG42 6YA
UNITED KINGDOM

Patents ADP number (if you know it)

8029563001

6. If you are declaring priority from one or more earlier patent applications, give the country and the date of filing of the or of each of these earlier applications and (if you know it) the or each application number

Country

Priority application number
(if you know it)

Date of filing
(day / month / year)

7. If this application is divided or otherwise derived from an earlier UK application, give the number and the filing date of the earlier application

Number of earlier application

Date of filing
(day / month / year)

8. Is a statement of inventorship and of right to grant of a patent required in support of this request? (Answer 'Yes' if:

- a) any applicant named in part 3 is not an inventor, or
- b) there is an inventor who is not named as an applicant, or
- c) any named applicant is a corporate body.

See note (d))

YES (b)

Patents Form 1/77

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Continuation sheets of this form	
Description	74
Claim(s)	04
Abstract	01
Drawing(s)	00

25

10. If you are also filing any of the following, state how many against each item.

Priority documents

Translations of priority documents

Statement of inventorship and right to grant of a patent (Patents Form 7/77)

Request for preliminary examination and search (Patents Form 9/77)

Request for substantive examination (Patents Form 10/77)

Any other documents (please specify)

11.

I/We request the grant of a patent on the basis of this application.
SYNGENTA PARTICIPATIONS AG

Signature
Authorised Signatory

M A Rudd

Date 12/12/03

12. Name and daytime telephone number of person to contact in the United Kingdom

Margaret Ann RUDD 01344 413673

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Notes

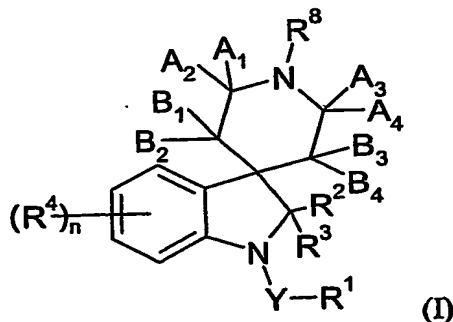
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CHEMICAL COMPOUNDS

The present invention relates to spiroindoline derivatives, to processes for preparing them, to insecticidal, acaricidal, molluscicidal and nematicidal compositions comprising them and to methods of using them to combat and control insect, acarine, mollusc and nematode pests.

Spiroindoline derivatives with pharmaceutical properties are disclosed in for example WO9825605, WO9429309, WO9828297 and WO9964002. Synthetic routes to selected compounds with pharmaceutical properties are described in Proc. Natl. Acad. Sci. USA (1995), 92, 7001, Tetrahedron (1997), 53, 10983 and Tetrahedron Letters (1997), 38, 1497. It has now surprisingly been found that certain spiroindolines have insecticidal properties.

The present invention therefore provides a method of combating and controlling insects, acarines, nematodes or molluscs which comprises applying to a pest, to a locus of a pest, or to a plant susceptible to attack by a pest an insecticidally, acaricidally, nematicidally or molluscicidally effective amount of a compound of formula (I):



wherein Y is a single bond, C=O, C=S or S(O)_m where m is 0, 1 or 2;

R¹ is hydrogen, optionally substituted alkyl, optionally substituted alkoxy carbonyl, optionally substituted alkyl carbonyl, aminocarbonyl, optionally substituted alkylaminocarbonyl, optionally substituted dialkylaminocarbonyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted heterocycloxy, cyano, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted

cycloalkyl, optionally substituted cycloalkenyl, formyl, optionally substituted heterocyclyl, optionally substituted alkylthio, NO or $\text{NR}^{13}\text{R}^{14}$ where R^{13} and R^{14} are independently hydrogen, COR^{15} , optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclyl or R^{13} and R^{14} together with the N
5 atom to which they are attached form a group $-\text{N}=\text{C}(\text{R}^{16})-\text{NR}^{17}\text{R}^{18}$; R^{15} is H, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted aryl, optionally substituted aryloxy optionally substituted heteroaryl, optionally substituted heteroaryloxy or $\text{NR}^{19}\text{R}^{20}$; R^{16} , R^{17} and R^{18} are each independently H or lower alkyl; R^{19} and R^{20} are independently optionally substituted alkyl, optionally substituted aryl or optionally
10 substituted heteroaryl;

R^2 and R^3 are independently hydrogen, halogen, cyano, optionally substituted alkyl, optionally substituted alkoxy or optionally substituted aryl;

each R^4 is independently halogen, nitro, cyano, optionally substituted C_{1-8} alkyl, optionally substituted C_{2-6} alkenyl, optionally substituted C_{2-6} alkynyl, optionally substituted
15 alkoxy carbonyl, optionally substituted alkyl carbonyl, optionally substituted alkylaminocarbonyl, optionally substituted dialkylaminocarbonyl, optionally substituted C_{3-7} cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclyl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted alkylthio or $\text{R}^{21}\text{R}^{22}\text{N}$ where R^{21}
20 and R^{22} are, independently, hydrogen, C_{1-8} alkyl, C_{3-7} cycloalkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, C_{3-7} cycloalkyl(C_{1-4})alkyl, C_{2-6} haloalkyl, C_{1-6} alkoxy(C_{1-6})alkyl, C_{1-6} alkoxy carbonyl or R^{21} and R^{22} together with the N atom to which they are attached form a five, six or seven-membered heterocyclic ring which may contain one or two further heteroatoms selected from O, N or S and which may be optionally substituted by one or two C_{1-6} alkyl groups, or 2
25 adjacent groups R^4 together with the carbon atoms to which they are attached form a 4, 5, 6, or 7 membered carbocyclic or heterocyclic ring which may be optionally substituted by halogen; n is 0, 1, 2, 3 or 4;

R^8 is optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted aryl, optionally
30 substituted alkoxy, optionally substituted aryloxy, optionally substituted alkoxy carbonyl, optionally substituted alkyl carbonyl or optionally substituted alkenyl carbonyl;

- A₁, A₂, A₃, A₄, B₁, B₂, B₃ and B₄ are independently hydrogen, halogen, hydroxy, cyano, optionally substituted C₁₋₈ alkyl, optionally substituted C₂₋₆ alkenyl, optionally substituted C₂₋₆ alkynyl, optionally substituted alkoxy carbonyl, optionally substituted alkyl carbonyl, optionally substituted alkyl aminocarbonyl, optionally substituted dialkyl aminocarbonyl, optionally substituted C₃₋₇ cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclyl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted alkylthio, optionally substituted arylthio or R²³R²⁴N where R²³ and R²⁴ are, independently, hydrogen, C₁₋₈ alkyl, C₃₋₇ cycloalkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, C₃₋₇ cycloalkyl(C₁₋₄)alkyl, C₂₋₆ haloalkyl, C₁₋₆ alkoxy(C₁₋₆)alkyl, C₁₋₆ alkoxy carbonyl or R²³ and R²⁴ together with the N atom to which they are attached form a five, six or seven-membered heterocyclic ring which may contain one or two further heteroatoms selected from O, N or S and which may be optionally substituted by one or two C₁₋₆ alkyl groups,
- or A₁ and A₂ together are =O,
- or A₃ and A₄ together are =O,
- or B₁ and B₂ together are =O,
- or B₃ and B₄ together are =O,
- or A₁ together with B₁ is a bond,
- or A₃ together with B₃ is a bond,
- or A₁ together with A₂ form with the carbon to which they are bound a three- to seven-membered ring, and may be saturated or unsaturated, and that may contain one or two heteroatoms selected from the group consisting of N, O and S, and which may be optionally substituted by one or two C₁₋₆ alkyl groups;
- or A₁ together with B₁ form with the carbon to which they are bound a three- to seven-membered ring, and may be saturated or unsaturated, and that may contain one or two heteroatoms selected from the group consisting of N, O and S, and which may be optionally substituted by one or two C₁₋₆ alkyl groups;
- or B₁ together with B₂ form with the carbon to which they are bound a three- to seven-membered ring, and may be saturated or unsaturated, and that may contain one or two heteroatoms selected from the group consisting of N, O and S, and which may be optionally substituted by one or two C₁₋₆ alkyl groups;
- or A₁ together with A₃ form a group -CH₂-, -CH=CH- or -CH₂CH₂;

or B₁ together with B₃ form a group -CH₂-, -CH=CH- or -CH₂CH₂;

or salts or N-oxides thereof provided that when B₁, B₂, B₃ and B₄ are all H, either both A₁ and A₂ are different from H or both A₃ and A₄ are different from H.

The compounds of formula (I) may exist in different geometric or optical isomers or
 5 tautomeric forms. This invention covers all such isomers and tautomers and mixtures thereof in all proportions as well as isotopic forms such as deuterated compounds.

Each alkyl moiety either alone or as part of a larger group (such as alkoxy, alkoxy carbonyl, alkyl carbonyl, alkyl aminocarbonyl, dialkyl aminocarbonyl) is a straight or branched chain and is, for example, methyl, ethyl, n-propyl, n-butyl, n-pentyl, n-hexyl, iso-
 10 propyl, n-butyl, sec-butyl, iso-butyl, tert-butyl or neo-pentyl. The alkyl groups are suitably C₁ to C₁₂ alkyl groups, but are preferably C₁-C₁₀, more preferably C₁-C₈, even more preferably preferably C₁-C₆ and most preferably C₁-C₄ alkyl groups.

When present, the optional substituents on an alkyl moiety (alone or as part of a larger group such as alkoxy, alkoxy carbonyl, alkyl carbonyl, alkyl aminocarbonyl, dialkyl aminocarbonyl) include one or more of halogen, nitro, cyano, NCS-, C₃₋₇ cycloalkyl (itself optionally substituted with C₁₋₆ alkyl or halogen), C₅₋₇ cycloalkenyl (itself optionally substituted with C₁₋₆ alkyl or halogen), hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkoxy(C₁₋₁₀)alkoxy, tri(C₁₋₄)alkylsilyl(C₁₋₆)alkoxy, C₁₋₆ alkoxy carbonyl(C₁₋₁₀)alkoxy, C₁₋₁₀ haloalkoxy, aryl(C₁₋₄)-alkoxy (where the aryl group is optionally substituted), C₃₋₇ cycloalkyloxy (where the
 20 cycloalkyl group is optionally substituted with C₁₋₆ alkyl or halogen), C₂₋₁₀ alkenyloxy, C₂₋₁₀ alkynyloxy, SH, C₁₋₁₀ alkylthio, C₁₋₁₀ haloalkylthio, aryl(C₁₋₄)alkylthio (where the aryl group is optionally substituted), C₃₋₇ cycloalkylthio (where the cycloalkyl group is optionally substituted with C₁₋₆ alkyl or halogen), tri(C₁₋₄)alkylsilyl(C₁₋₆)alkylthio, arylthio (where the aryl group is optionally substituted), C₁₋₆ alkylsulfonyl, C₁₋₆ haloalkylsulfonyl, C₁₋₆
 25 alkylsulfinyl, C₁₋₆ haloalkylsulfinyl, arylsulfonyl (where the aryl group may be optionally substituted), tri(C₁₋₄)alkylsilyl, aryldi(C₁₋₄)alkylsilyl, (C₁₋₄)alkyldiarylsilyl, triarylsilyl, C₁₋₁₀ alkyl carbonyl, HO₂C, C₁₋₁₀ alkoxy carbonyl, aminocarbonyl, C₁₋₆ alkyl aminocarbonyl, di(C₁₋₆ alkyl)aminocarbonyl, N-(C₁₋₃ alkyl)-N-(C₁₋₃ alkoxy)aminocarbonyl, C₁₋₆ alkyl carbonyloxy, aryl carbonyloxy (where the aryl group is optionally substituted),
 30 di(C₁₋₆)alkyl aminocarbonyloxy, oximes such as =NOalkyl, =NOhaloalkyl and =NOaryl (itself optionally substituted), aryl (itself optionally substituted), heteroaryl (itself optionally substituted), heterocyclyl (itself optionally substituted with C₁₋₆ alkyl or halogen), aryloxy

(where the aryl group is optionally substituted), heteroaryloxy, (where the heteroaryl group is optionally substituted), heterocyclyloxy (where the heterocyclyl group is optionally substituted with C₁₋₆ alkyl or halogen), amino, C₁₋₆ alkylamino, di(C₁₋₆)alkylamino, C₁₋₆ alkylcarbonylamino, N-(C₁₋₆)alkylcarbonyl-N-(C₁₋₆)alkylamino, C₂₋₆ alkenylcarbonyl, C₂₋₆ alkynylcarbonyl, C₃₋₆ alkenyloxycarbonyl, C₃₋₆ alkynyloxycarbonyl, aryloxycarbonyl (where the aryl group is optionally substituted) and arylcarbonyl (where the aryl group is optionally substituted).

Alkenyl and alkynyl moieties can be in the form of straight or branched chains, and the alkenyl moieties, where appropriate, can be of either the (E)- or (Z)-configuration.

10 Examples are vinyl, allyl and propargyl.

When present, the optional substituents on alkenyl or alkynyl include those optional substituents given above for an alkyl moiety.

In the context of this specification acyl is optionally substituted C₁₋₆ alkylcarbonyl (for example acetyl), optionally substituted C₂₋₆ alkenylcarbonyl, optionally substituted C₂₋₆ alkynylcarbonyl, optionally substituted arylcarbonyl (for example benzoyl) or optionally substituted heteroarylcarbonyl.

Halogen is fluorine, chlorine, bromine or iodine.

Haloalkyl groups are alkyl groups which are substituted with one or more of the same or different halogen atoms and are, for example, CF₃, CF₂Cl, CF₃CH₂ or CHF₂CH₂.

20 In the context of the present specification the terms "aryl" and "aromatic ring system" refer to ring systems which may be mono-, bi- or tricyclic. Examples of such rings include phenyl, naphthalenyl, anthracenyl, indenyl or phenanthrenyl. A preferred aryl group is phenyl. In addition, the terms "heteroaryl", "heteroaromatic ring" or "heteroaromatic ring system" refer to an aromatic ring system containing at least one heteroatom and consisting
25 either of a single ring or of two or more fused rings. Preferably, single rings will contain up to three and bicyclic systems up to four heteroatoms which will preferably be chosen from nitrogen, oxygen and sulphur. Examples of such groups include furyl, thienyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,5-oxadiazolyl,
30 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,5-thiadiazolyl, pyridyl, pyrimidinyl, pyridazinyl, pyrazinyl, 1,2,3-triazinyl, 1,2,4-triazinyl, 1,3,5-triazinyl, benzofuryl, benzisofuryl, benzothienyl, benzisothienyl, indolyl, isoindolyl, indazolyl,

benzothiazolyl, benzisothiazolyl, benzoxazolyl, benzisoxazolyl, benzimidazolyl, 2,1,3-benzoxadiazole quinolinyl, isoquinolinyl, cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, naphthyridinyl, benzotriazinyl, purinyl, pteridinyl and indolizinyl. Preferred examples of heteroaromatic radicals include pyridyl, pyrimidyl, triazinyl, thienyl, furyl, oxazolyl, isoxazolyl, 2,1,3-benzoxadiazole and thiazolyl.

The terms heterocycle and heterocyclyl refer to a non-aromatic ring containing up to 10 atoms including one or more (preferably one or two) heteroatoms selected from O, S and N. Examples of such rings include 1,3-dioxolane, tetrahydrofuran and morpholine.

When present, the optional substituents on heterocyclyl include C₁₋₆ alkyl and C₁₋₆ haloalkyl as well as those optional substituents given above for an alkyl moiety.

Cycloalkyl includes cyclopropyl, cyclopentyl and cyclohexyl.

Cycloalkenyl includes cyclopentenyl and cyclohexenyl.

When present, the optional substituents on cycloalkyl or cycloalkenyl include C₁₋₃ alkyl as well as those optional substituents given above for an alkyl moiety.

Carbocyclic rings include aryl, cycloalkyl and cycloalkenyl groups.

When present, the optional substituents on aryl or heteroaryl are selected independently, from halogen, nitro, cyano, NCS-, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy-(C₁₋₆)alkyl, C₂₋₆ alkenyl, C₂₋₆ haloalkenyl, C₂₋₆ alkynyl, C₃₋₇ cycloalkyl (itself optionally substituted with C₁₋₆ alkyl or halogen), C₅₋₇ cycloalkenyl (itself optionally substituted with C₁₋₆ alkyl or halogen), hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkoxy(C₁₋₁₀)alkoxy, tri(C₁₋₄)alkylsilyl(C₁₋₆)alkoxy, C₁₋₆ alkoxycarbonyl(C₁₋₁₀)alkoxy, C₁₋₁₀ haloalkoxy, aryl(C₁₋₄)alkoxy (where the aryl group is optionally substituted with halogen or C₁₋₆ alkyl), C₃₋₇ cycloalkyloxy (where the cycloalkyl group is optionally substituted with C₁₋₆ alkyl or halogen), C₂₋₁₀ alkenyloxy, C₂₋₁₀ alkynyloxy, SH, C₁₋₁₀ alkylthio, C₁₋₁₀ haloalkylthio, aryl(C₁₋₄)alkylthio C₃₋₇ cycloalkylthio (where the cycloalkyl group is optionally substituted with C₁₋₆ alkyl or halogen), tri(C₁₋₄)-alkylsilyl(C₁₋₆)alkylthio, arylthio, C₁₋₆ alkylsulfonyl, C₁₋₆ haloalkylsulfonyl, C₁₋₆ alkylsulfinyl, C₁₋₆ haloalkylsulfinyl, arylsulfonyl, tri(C₁₋₄)alkylsilyl, aryl-di(C₁₋₄)-alkylsilyl, (C₁₋₄)alkyldiarylsilyl, triarylsilyl, C₁₋₁₀ alkylcarbonyl, HO₂C, C₁₋₁₀ alkoxycarbonyl, aminocarbonyl, C₁₋₆ alkylaminocarbonyl, di(C₁₋₆ alkyl)-aminocarbonyl, N-(C₁₋₃ alkyl)-N-(C₁₋₃ alkoxy)aminocarbonyl, C₁₋₆ alkylcarbonyloxy, arylcarbonyloxy, di(C₁₋₆)alkylamino-carbonyloxy, aryl (itself optionally substituted with C₁₋₆ alkyl or halogen), heteroaryl (itself optionally substituted with C₁₋₆ alkyl or halogen), heterocyclyl (itself

optionally substituted with C₁₋₆ alkyl or halogen), aryloxy (where the aryl group is optionally substituted with C₁₋₆ alkyl or halogen), heteroaryloxy (where the heteroaryl group is optionally substituted with C₁₋₆ alkyl or halogen), heterocyclyloxy (where the heterocyclyl group is optionally substituted with C₁₋₆ alkyl or halogen), amino, C₁₋₆ alkylamino, di(C₁₋₆)alkylamino, C₁₋₆ alkylcarbonylamino, N-(C₁₋₆)alkylcarbonyl-N-(C₁₋₆)alkylamino, arylcarbonyl, (where the aryl group is itself optionally substituted with halogen or C₁₋₆ alkyl) or two adjacent positions on an aryl or heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen or C₁₋₆ alkyl. Further substituents for aryl or heteroaryl include aryl carbonyl amino (where the aryl group is substituted by C₁₋₆ alkyl or halogen), (C₁₋₆)alkyloxycarbonylamino (C₁₋₆)alkyloxycarbonyl-N-(C₁₋₆)alkylamino, aryloxycarbonylamino (where the aryl group is substituted by C₁₋₆ alkyl or halogen), aryloxycarbonyl-N-(C₁₋₆)alkylamino, (where the aryl group is substituted by C₁₋₆ alkyl or halogen), arylsulphonylamino (where the aryl group is substituted by C₁₋₆ alkyl or halogen), arylsulphonyl-N-(C₁₋₆)alkylamino (where the aryl group is substituted by C₁₋₆ alkyl or halogen), aryl-N-(C₁₋₆)alkylamino (where the aryl group is substituted by C₁₋₆ alkyl or halogen), arylamino (where the aryl group is substituted by C₁₋₆ alkyl or halogen), heteroaryl amino (where the heteroaryl group is substituted by C₁₋₆ alkyl or halogen), heterocyclylamino (where the heterocyclyl group is substituted by C₁₋₆ alkyl or halogen), aminocarbonylamino, C₁₋₆ alkylaminocarbonyl amino, di(C₁₋₆)alkylaminocarbonyl amino, arylaminocarbonyl amino where the aryl group is substituted by C₁₋₆ alkyl or halogen), aryl-N-(C₁₋₆)alkylaminocarbonylamino where the aryl group is substituted by C₁₋₆ alkyl or halogen), C₁₋₆ alkylaminocarbonyl-N-(C₁₋₆)alkyl amino, di(C₁₋₆)alkylaminocarbonyl-N-(C₁₋₆)alkyl amino, arylaminocarbonyl-N-(C₁₋₆)alkyl amino where the aryl group is substituted by C₁₋₆ alkyl or halogen) and aryl-N-(C₁₋₆)alkylaminocarbonyl-N-(C₁₋₆)alkyl amino where the aryl group is substituted by C₁₋₆ alkyl or halogen).

For substituted phenyl moieties, heterocyclyl and heteroaryl groups it is preferred that one or more substituents are independently selected from halogen, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy(C₁₋₆)alkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, C₁₋₆ alkylthio, C₁₋₆ haloalkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ haloalkylsulfinyl, C₁₋₆ alkylsulfonyl, C₁₋₆ haloalkylsulfonyl, C₂₋₆ alkenyl, C₂₋₆ haloalkenyl, C₂₋₆ alkynyl, C₃₋₇ cycloalkyl, nitro, cyano, CO₂H, C₁₋₆ alkylcarbonyl, C₁₋₆ alkoxy carbonyl, R²⁵R²⁶N or R²⁷R²⁸NC(O); wherein R²⁵, R²⁶, R²⁷ and R²⁸ are, independently, hydrogen or C₁₋₆ alkyl. Further preferred substituents are aryl and heteroaryl groups.

Haloalkenyl groups are alkenyl groups which are substituted with one or more of the same or different halogen atoms.

It is to be understood that dialkylamino substituents include those where the dialkyl groups together with the N atom to which they are attached form a five, six or seven-membered heterocyclic ring which may contain one or two further heteroatoms selected from O, N or S and which is optionally substituted by one or two independently selected (C₁₋₆)alkyl groups. When heterocyclic rings are formed by joining two groups on an N atom, the resulting rings are suitably pyrrolidine, piperidine, thiomorpholine and morpholine each of which may be substituted by one or two independently selected (C₁₋₆) alkyl groups.

Preferably the optional substituents on an alkyl moiety include one or more of halogen, nitro, cyano, HO₂C, C₁₋₁₀ alkoxy (itself optionally substituted by C₁₋₁₀ alkoxy), aryl(C₁₋₄)alkoxy, C₁₋₁₀ alkylthio, C₁₋₁₀ alkylcarbonyl, C₁₋₁₀ alkoxycarbonyl, C₁₋₆ alkylaminocarbonyl, di(C₁₋₆ alkyl)aminocarbonyl, (C₁₋₆)alkylcarbonyloxy, optionally substituted phenyl, heteroaryl, aryloxy, arylcarbonyloxy, heteroaryloxy, heterocyclyl, heterocyclyloxy, C₃₋₇ cycloalkyl (itself optionally substituted with (C₁₋₆)alkyl or halogen), C₃₋₇ cycloalkyloxy, C₅₋₇ cycloalkenyl, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylsulfinyl, tri(C₁₋₄)alkylsilyl, tri(C₁₋₄)alkylsilyl(C₁₋₆)alkoxy, aryldi(C₁₋₄)alkylsilyl, (C₁₋₄)alkyldiarylsilyl and triarylsilyl.

Preferably the optional substituents on alkenyl or alkynyl include one or more of halogen, aryl and C₃₋₇ cycloalkyl.

A preferred optional substituent for heterocyclyl is C₁₋₆ alkyl.

Preferably the optional substituents for cycloalkyl include halogen, cyano and C₁₋₃ alkyl.

Preferably the optional substituents for cycloalkenyl include C₁₋₃ alkyl, halogen and cyano.

Preferably Y is a single bond, C=O or S(O)_m where m is 0, 1 or 2.

More preferably Y is a single bond, C=O or SO₂.

Yet more preferably Y is a single bond or C=O.

Most preferably Y is C=O.

Preferably R¹ is hydrogen, C₁₋₆ alkyl, C₁₋₆ cyanoalkyl, C₁₋₆ haloalkyl, C₃₋₇ cycloalkyl(C₁₋₄)alkyl, C₁₋₆ alkoxy(C₁₋₆)alkyl, heteroaryl(C₁₋₆)alkyl (wherein the heteroaryl group may be optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylthio, C₁₋₆

alkoxycarbonyl, C₁₋₆ alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), aryl(C₁₋₆)alkyl (wherein the aryl group may be optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylthio, C₁₋₆ alkoxycarbonyl, C₁₋₆ alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the aryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), C₁₋₆ alkylcarbonylamino(C₁₋₆)alkyl, aryl (which may be optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylthio, C₁₋₆ alkoxycarbonyl, C₁₋₆ alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the aryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), heteroaryl (which may be optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylthio, C₁₋₆ alkoxycarbonyl, C₁₋₆ alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, phenoxy (wherein the phenyl group is optionally substituted by halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or dialkylamino), heteroaryloxy (optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), heterocyclyloxy (optionally substituted by halo, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), cyano, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₆ cycloalkyl, C₅₋₇ cycloalkenyl, heterocyclyl (optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), C₁₋₆ alkylthio, C₁₋₆ haloalkylthio or NR¹³R¹⁴ where R¹³ and R¹⁴ are independently hydrogen, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy(C₁₋₆)alkyl, phenyl (which may be optionally substituted by halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino, dialkylamino or C₁₋₄ alkoxycarbonyl), phenyl (C₁₋₆)alkyl (wherein the phenyl group may be optionally substituted by halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino, dialkylamino, C₁₋₆ alkylsulfonyl, C₁₋₆ alkoxycarbonyl, or two adjacent positions on the phenyl ring may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), heteroaryl (C₁₋₆)alkyl (wherein

the heteroaryl group may be optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylthio, C₁₋₆ alkoxycarbonyl, C₁₋₆ alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen) or heteroaryl (which may be optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy, C₁₋₄ alkoxycarbonyl C₁₋₆ alkylcarbonylamino, phenyloxycarbonylamino (wherein the phenyl group is optionally substituted by halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or dialkylamino), amino, C₁₋₆ alkylamino or phenylamino (wherein the phenyl group is optionally substituted halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or dialkylamino)).

More preferably R¹ is C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy(C₁₋₆)alkyl, heteroaryl(C₁₋₃)alkyl (wherein the heteroaryl group may be optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, C₁₋₆ alkylsulfonyl, C₁₋₆ alkoxycarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), phenyl(C₁₋₃)alkyl (wherein the phenyl group may be optionally substituted by halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino, dialkylamino, C₁₋₆ alkylsulfonyl, C₁₋₆ alkoxycarbonyl, or two adjacent positions on the phenyl ring may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), phenyl (which may be optionally substituted by halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino, dialkylamino, C₁₋₆ alkylsulfonyl, C₁₋₆ alkoxycarbonyl, or two adjacent positions on the phenyl ring may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), heteroaryl (which may be optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, C₁₋₆ alkylsulfonyl, C₁₋₆ alkoxycarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, C₂₋₆ alkenyl, heterocyclyl (optionally substituted by halo, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), C₁₋₆ alkylthio, C₁₋₆ haloalkylthio or NR¹³R¹⁴ where R¹³ and R¹⁴ are independently hydrogen, C₁₋₆ alkyl or C₁₋₆ haloalkyl, C₁₋₆ alkoxy(C₁₋₆)alkyl, C₂₋₆ alkylcarbonyl, phenylcarbonyl, (where

the phenyl is optionally substituted by halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or dialkylamino), phenyl(C₁₋₃)alkyl (wherein the phenyl group may be optionally substituted by halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino, dialkylamino, C₁₋₆ alkylsulfonyl, C₁₋₆ alkoxycarbonyl, or two adjacent positions on the phenyl ring may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen) or heteroaryl(C₁₋₃)alkyl (wherein the heteroaryl group may be optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, C₁₋₆ alkylsulfonyl, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylthio, C₁₋₆ alkoxycarbonyl, C₁₋₆ alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen).

Even more preferably R¹ is C₁₋₆ alkyl, C₁₋₆ haloalkyl, heteroaryl(C₁₋₃)alkyl (wherein the heteroaryl group may be optionally substituted by halo, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl and where the heteroaryl group is a thiazole, pyridine, pyrimidine, pyrazine or pyridazine ring), heteroaryl (optionally substituted by halo, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl and where the heteroaryl group is a pyridine, pyrimidine, 2,1,3-benzoxadiazole, pyrazine or pyridazine ring), C₁₋₆ alkoxy, C₁₋₆ alkoxy(C₁₋₆)alkyl, C₁₋₆ alkylamino, C₁₋₆ alkoxy(C₁₋₆)alkylamino or heteroaryl(C₁₋₃)alkylamino (wherein the heteroaryl group may be optionally substituted by halo, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl and where the heteroaryl group is a thiazole, pyridine, pyrimidine, pyrazine or pyridazine ring).

Most preferably R¹ is pyridyl (optionally substituted by halo, C₁₋₃ alkyl or C₁₋₃ haloalkyl) especially halo-substituted pyridyl.

It is preferred that R² and R³ are independently hydrogen, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or cyano.

More preferably R² and R³ are independently hydrogen, halogen, C₁₋₂ alkyl, C₁₋₂ haloalkyl, C₁₋₂ alkoxy, cyano.

Even more preferably R² and R³ are independently hydrogen or C₁₋₄ alkyl.

Yet more preferably R² and R³ are independently hydrogen or methyl.

Most preferably R² and R³ are both hydrogen.

Preferably each R⁴ is independently halogen, cyano, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₁₋₆ cyanoalkyl, C₁₋₆ alkoxy(C₁₋₆)alkyl, C₃₋₇ cycloalkyl(C₁₋₆)alkyl, C₅₋₆ cycloalkenyl(C₁₋₆)alkyl,

C₃₋₆ alkenyloxy(C₁₋₆)alkyl, C₃₋₆ alkynyloxy(C₁₋₆)alkyl, aryloxy(C₁₋₆)alkyl, C₁₋₆ carboxyalkyl,
 C₁₋₆ alkylcarbonyl(C₁₋₆)alkyl, C₂₋₆ alkenylcarbonyl(C₁₋₆)alkyl, C₂₋₆ alkynylcarbonyl(C₁₋₆)-
 alkyl, C₁₋₆ alkoxycarbonyl(C₁₋₆)alkyl, C₃₋₆ alkenyloxycarbonyl(C₁₋₆)alkyl, C₃₋₆
 alkynyloxycarbonyl(C₁₋₆)alkyl, aryloxycarbonyl(C₁₋₆)alkyl, C₁₋₆ alkylthio(C₁₋₆)alkyl, C₁₋₆
 5 alkylsulfinyl(C₁₋₆)alkyl, C₁₋₆ alkylsulfonyl(C₁₋₆)alkyl, aminocarbonyl(C₁₋₆)alkyl, C₁₋₆
 alkylaminocarbonyl(C₁₋₆)alkyl, di(C₁₋₆)alkylaminocarbonyl(C₁₋₆)alkyl, phenyl(C₁₋₄)alkyl
 (wherein the phenyl group is optionally substituted by halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄
 haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or dialkylamino),
 heteroaryl(C₁₋₄)alkyl (wherein the heteroaryl group is optionally substituted by halo, nitro,
 10 cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), heterocyclyl(C₁₋₄)alkyl
 (wherein the heterocyclyl group is optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆
 haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), C₂₋₆ alkenyl, aminocarbonyl(C₂₋₆)alkenyl, C₁₋₆
 alkylaminocarbonyl(C₂₋₆)alkenyl, di(C₁₋₆)alkylaminocarbonyl(C₂₋₆)alkenyl, phenyl(C₂₋₄)-
 alkenyl, (wherein the phenyl group is optionally substituted by halogen, C₁₋₄ alkyl, C₁₋₄
 15 alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or dialkylamino),
 C₂₋₆ alkynyl, trimethylsilyl(C₂₋₆)alkynyl, aminocarbonyl(C₂₋₆)alkynyl, C₁₋₆
 alkylaminocarbonyl(C₂₋₆)alkynyl, di(C₁₋₆)alkylaminocarbonyl(C₂₋₆)alkynyl, C₁₋₆
 alkoxycarbonyl, C₃₋₇ cycloalkyl, C₃₋₇ halocycloalkyl, C₃₋₇ cyanocycloalkyl, C₁₋₃ alkyl(C₃₋₇)-
 cycloalkyl, C₁₋₃ alkyl(C₃₋₇)halocycloalkyl, phenyl (optionally substituted by halogen, C₁₋₄
 20 alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or
 dialkylamino), heteroaryl (optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆
 haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), heterocyclyl (wherein the heterocyclyl group is
 optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆
 haloalkoxy), or 2 adjacent groups R⁴ together with the carbon atoms to which they are
 25 attached form a 4, 5, 6 or 7 membered carbocyclic or heterocyclic ring which may be
 optionally substituted by halogen, C₁₋₈ alkoxy, C₁₋₆ haloalkoxy, phenoxy (optionally
 substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy),
 heteroaryloxy (optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆
 alkoxy or C₁₋₆ haloalkoxy), C₁₋₈ alkylthio or R¹⁹R²⁰N where R¹⁹ and R²⁰ are, independently,
 30 hydrogen, C₁₋₈ alkyl, C₃₋₇ cycloalkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, C₂₋₆ haloalkyl, C₁₋₆
 alkoxycarbonyl or R¹⁹ and R²⁰ together with the N atom to which they are attached form a
 five, six or seven-membered heterocyclic ring which may contain one or two further

heteroatoms selected from O, N or S and which may be optionally substituted by one or two C₁₋₆ alkyl groups; n is 0, 1, 2 or 3.

More preferably each R⁴ is independently halogen, cyano, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₁₋₈ cyanoalkyl, C₁₋₆ alkoxy(C₁₋₆)alkyl, C₂₋₆ alkynyl, trimethylsilyl(C₂₋₆)alkynyl, C₁₋₆ alkoxy carbonyl, C₃₋₇ cycloalkyl, C₁₋₃ alkyl (C₃₋₇) cycloalkyl, phenyl (optionally substituted by halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or dialkylamino), heterocyclyl (optionally substituted by halo, nitro, cyano, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy or C₁₋₆ haloalkoxy), C₁₋₈ alkoxy, C₁₋₆ haloalkoxy, phenoxy (optionally substituted by halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or dialkylamino), heteroaryloxy (optionally substituted by halo, nitro, cyano, C₁₋₃ alkyl, C₁₋₃ haloalkyl, C₁₋₃ alkoxy or C₁₋₃ haloalkoxy), di(C₁₋₈)alkylamino, or 2 adjacent groups R⁴ together with the carbon atoms to which they are attached form a 4, 5, 6 or 7 membered carbocyclic or heterocyclic ring which may be optionally substituted by halogen; n is 0, 1, 2 or 3.

Even more preferably each R⁴ is independently halogen, cyano, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₁₋₈ cyanoalkyl, C₁₋₆ alkoxy(C₁₋₆)alkyl, C₂₋₆ alkynyl, heterocyclyl (optionally substituted by C₁₋₆ alkyl), C₁₋₈ alkoxy, C₁₋₆ haloalkoxy, phenoxy (optionally substituted by halo, cyano, C₁₋₃ alkyl or C₁₋₃ haloalkyl), heteroaryloxy (optionally substituted by halo, cyano, C₁₋₃ alkyl or C₁₋₃ haloalkyl), di(C₁₋₈)alkylamino or 2 adjacent groups R⁴ together with the carbon atoms to which they are attached form a 4, 5, 6 or 7 membered carbocyclic or heterocyclic ring which may be optionally substituted by halogen; n is 0, 1, 2 or 3.

Yet more preferably each R⁴ is independently fluoro, chloro, bromo, cyano, C₁₋₄ alkyl, C₁₋₄ haloalkyl, C₁₋₄ cyanoalkyl or C₁₋₃ alkoxy(C₁₋₃)alkyl; n is 0, 1 or 2.

Most preferably each R⁴ is independently fluoro, chloro, bromo, C₁₋₄ alkyl or C₁₋₄ haloalkyl; n is 1 or 2.

Preferably R⁸ is C₁₋₁₀ alkyl, C₁₋₁₀ haloalkyl, aryl(C₁₋₆)alkyl (wherein the aryl group is optionally substituted by halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or dialkylamino), heteroaryl(C₁₋₆)alkyl (wherein the heteroaryl group is optionally substituted by halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or dialkylamino), arylcarbonyl-(C₁₋₆)alkyl (wherein the aryl group may be optionally substituted by halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or dialkylamino and the alkyl

group may be optionally substituted by aryl), C₂₋₈ alkenyl, C₂₋₈ haloalkenyl, aryl(C₂₋₆)-alkenyl (wherein the aryl group is optionally substituted halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or dialkylamino, C₁₋₆ alkoxycarbonyl, or two adjacent substituents can cyclise to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring), heteroaryl(C₂₋₆)-alkenyl (wherein the heteroaryl group is optionally substituted halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or dialkylamino, C₁₋₆ alkoxycarbonyl, or two adjacent substituents can cyclise to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring), C₂₋₆ alkynyl, phenyl(C₂₋₆)alkynyl (wherein the phenyl group is optionally substituted by halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or dialkylamino), C₃₋₇ cycloalkyl, C₁₋₆ alkoxycarbonyl, C₁₋₆ alkylcarbonyl, C₁₋₆ haloalkylcarbonyl or aryl(C₂₋₆)alkenylcarbonyl (wherein the aryl group may be optionally substituted halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or dialkylamino), or -C(R⁵¹)(R⁵²)-[CR⁵³=CR⁵⁴]_z-R⁵⁵ where z is 1 or 2, R⁵¹ and R⁵² are each independently H, halo or C₁₋₂ alkyl, R⁵³ and R⁵⁴ are each independently H, halogen, C₁₋₄ alkyl or C₁₋₄ haloalkyl and R⁵⁵ is optionally substituted aryl or optionally substituted heteroaryl.

More preferably R⁸ is phenyl(C₁₋₄)alkyl (wherein the phenyl group is optionally substituted by halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or dialkylamino), heteroaryl(C₁₋₆)alkyl (wherein the heteroaryl group is optionally substituted halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or dialkylamino), phenyl(C₂₋₆)alkenyl (wherein the phenyl group is optionally substituted by halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or dialkylamino), heteroaryl(C₂₋₆)alkenyl (wherein the heteroaryl group is optionally substituted by halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or dialkylamino) or phenyl(C₂₋₆)alkynyl (wherein the phenyl group is optionally substituted by halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, CN, NO₂, aryl, heteroaryl, amino or dialkylamino, or -C(R⁵¹)(R⁵²)-[CR⁵³=CR⁵⁴]_z-R⁵⁵ where z is 1 or 2, R⁵¹ and R⁵² are each independently H, halo or C₁₋₂ alkyl, R⁵³ and R⁵⁴ are each independently H, halogen, C₁₋₄ alkyl or C₁₋₄ haloalkyl and R⁵⁵ is optionally substituted aryl or optionally substituted heteroaryl.

Most preferably R^8 is $-C(R^{51})(R^{52})-[CR^{53}=CR^{54}]_z-R^{55}$ where z is 1 or 2, preferably 1, R^{51} and R^{52} are each independently H, halo or C_{1-2} alkyl, R^{53} and R^{54} are each independently H, halogen, C_{1-4} alkyl or C_{1-4} haloalkyl and R^{55} is phenyl substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2 , aryl, heteroaryl, amino or dialkylamino or heteroaryl substituted by halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2 , aryl, heteroaryl, amino or dialkylamino.

R^{51} and R^{52} are preferably hydrogen.

R^{53} and R^{54} are preferably hydrogen or halogen, especially hydrogen.

R^{55} is preferably phenyl substituted with one to three substituents selected from halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkoxy, CN, NO_2 , aryl, heteroaryl, amino or dialkylamino.

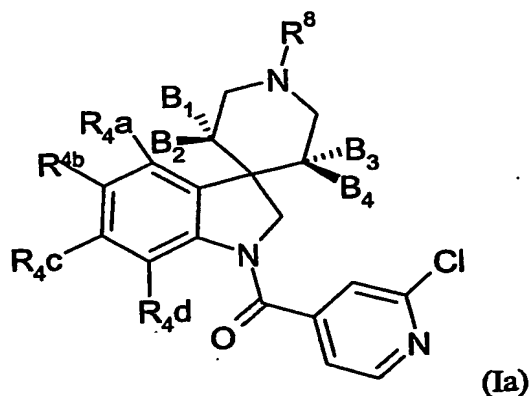
Preferably $A_1, A_2, A_3, A_4, B_1, B_2, B_3$ and B_4 are independently each hydrogen, halo, cyano, C_{1-3} alkyl, hydroxy or two groups attached to the same carbon atom together with the carbon atom form a carbonyl group.

More preferably $A_1, A_2, A_3, A_4, B_1, B_2, B_3$ and B_4 are independently each hydrogen, fluoro, methyl, hydroxy or two groups attached to the same carbon atom together with the carbon atom form a carbonyl group.

Compounds of formula I are also novel and as such form a further aspect of the invention.

The compounds in Tables I to XXXVII below illustrate the compounds of the invention.

Table I provides 782 compounds of formula Ia



wherein B_1 is CH_3 , B_2, B_3 and B_4 are all hydrogen and the values of $R^{4a}, R^{4b}, R^{4c}, R^{4d}$ and R^8 are given in Table 1

Table 1

Compound No	R ⁸	R ^{4a}	R ^{4b}	R ^{4c}	R ^{4d}
I-1	4-chlorobenzyl	H	H	H	H
I-2	Cinnamyl	H	H	H	H
I-3	4-chlorocinnamyl	H	H	H	H
I-4	4-fluorocinnamyl	H	H	H	H
I-5	4-bromocinnamyl	H	H	H	H
I-6	4-trifluoromethylcinnamyl	H	H	H	H
I-7	4-trifluoromethoxycinnamyl	H	H	H	H
I-8	4-pentafluoroethoxycinnamyl	H	H	H	H
I-9	4-methoxycinnamyl	H	H	H	H
I-10	4-ethoxycinnamyl	H	H	H	H
I-11	4-cyanocinnamyl	H	H	H	H
I-12	3-(6-chloro-pyridin-3-yl)-allyl	H	H	H	H
I-13	3-(4-chlorophenyl)-but-2-enyl	H	H	H	H
I-14	3-(4-chlorophenyl)-3-fluoro-allyl	H	H	H	H
I-15	3-chloro-4-fluoro-cinnamyl	H	H	H	H
I-16	3,5-dichloro-cinnamyl	H	H	H	H
I-17	5-phenyl-penta-2,4-dienyl	H	H	H	H
I-18	4-isopropoxyloxycarbonylamino-cinnamyl	H	H	H	H
I-19	3-naphthalen-2-yl-allyl	H	H	H	H
I-20	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	H	H	H
I-21	3-(5-chloro-pyridin-2-yl)-allyl	H	H	H	H
I-22	3-pyridin-4-yl-allyl	H	H	H	H
I-23	3-(2-Chloro-pyridin-4-yl)-allyl	H	H	H	H
I-24	4-chlorobenzyl	H	F	H	H
I-25	Cinnamyl	H	F	H	H
I-26	4-chlorocinnamyl	H	F	H	H
I-27	4-fluorocinnamyl	H	F	H	H
I-28	4-bromocinnamyl	H	F	H	H

I-29	4-trifluoromethylcinnamyl	H	F	H	H
I-30	4-trifluoromethoxycinnamyl	H	F	H	H
I-31	4-pentafluoroethoxycinnamyl	H	F	H	H
I-32	4-methoxycinnamyl	H	F	H	H
I-33	4-ethoxycinnamyl	H	F	H	H
I-34	4-cyanocinnamyl	H	F	H	H
I-35	3-(6-chloro-pyridin-3-yl)-allyl	H	F	H	H
I-36	3-(4-chlorophenyl)-but-2-enyl	H	F	H	H
I-37	3-(4-chlorophenyl)-3-fluoro-allyl	H	F	H	H
I-38	3-chloro-4-fluoro-cinnamyl	H	F	H	H
I-39	3,5-dichloro-cinnamyl	H	F	H	H
I-40	5-phenyl-penta-2,4-dienyl	H	F	H	H
I-41	4-isopropylloxycarbonylamino-cinnamyl	H	F	H	H
I-42	3-naphthalen-2-yl-allyl	H	F	H	H
I-43	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	F	H	H
I-44	3-(5-chloro-pyridin-2-yl)-allyl	H	F	H	H
I-45	3-pyridin-4-yl-allyl	H	F	H	H
I-46	3-(2-Chloro-pyridin-4-yl)-allyl	H	F	H	H
I-47	4-chlorobenzyl	H	Cl	H	H
I-48	Cinnamyl	H	Cl	H	H
I-49	4-chlorocinnamyl	H	Cl	H	H
I-50	4-fluorocinnamyl	H	Cl	H	H
I-51	4-bromocinnamyl	H	Cl	H	H
I-52	4-trifluoromethylcinnamyl	H	Cl	H	H
I-53	4-trifluoromethoxycinnamyl	H	Cl	H	H
I-54	4-pentafluoroethoxycinnamyl	H	Cl	H	H
I-55	4-methoxycinnamyl	H	Cl	H	H
I-56	4-ethoxycinnamyl	H	Cl	H	H
I-57	4-cyanocinnamyl	H	Cl	H	H
I-58	3-(6-chloro-pyridin-3-yl)-allyl	H	Cl	H	H
I-59	3-(4-chlorophenyl)-but-2-enyl	H	Cl	H	H

I-60	3-(4-chlorophenyl)-3-fluoro-allyl	H	Cl	H	H
I-61	3-chloro-4-fluoro-cinnamyl	H	Cl	H	H
I-62	3,5-dichloro-cinnamyl	H	Cl	H	H
I-63	5-phenyl-penta-2,4-dienyl	H	Cl	H	H
I-64	4-isopropylloxycarbonylamino-cinnamyl	H	Cl	H	H
I-65	3-naphthalen-2-yl-allyl	H	Cl	H	H
I-66	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	Cl	H	H
I-67	3-(5-chloro-pyridin-2-yl)-allyl	H	Cl	H	H
I-68	3-pyridin-4-yl-allyl	H	Cl	H	H
I-69	3-(2-Chloro-pyridin-4-yl)-allyl	H	Cl	H	H
I-70	4-chlorobenzyl	H	Br	H	H
I-71	Cinnamyl	H	Br	H	H
I-72	4-chlorocinnamyl	H	Br	H	H
I-73	4-fluorocinnamyl	H	Br	H	H
I-74	4-bromocinnamyl	H	Br	H	H
I-75	4-trifluoromethylcinnamyl	H	Br	H	H
I-76	4-trifluoromethoxycinnamyl	H	Br	H	H
I-77	4-pentafluoroethoxycinnamyl	H	Br	H	H
I-78	4-methoxycinnamyl	H	Br	H	H
I-79	4-ethoxycinnamyl	H	Br	H	H
I-80	4-cyanocinnamyl	H	Br	H	H
I-81	3-(6-chloro-pyridin-3-yl)-allyl	H	Br	H	H
I-82	3-(4-chlorophenyl)-but-2-enyl	H	Br	H	H
I-83	3-(4-chlorophenyl)-3-fluoro-allyl	H	Br	H	H
I-84	3-chloro-4-fluoro-cinnamyl	H	Br	H	H
I-85	3,5-dichloro-cinnamyl	H	Br	H	H
I-86	5-phenyl-penta-2,4-dienyl	H	Br	H	H
I-87	4-isopropylloxycarbonylamino-cinnamyl	H	Br	H	H
I-88	3-naphthalen-2-yl-allyl	H	Br	H	H
I-89	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	Br	H	H
I-90	3-(5-chloro-pyridin-2-yl)-allyl	H	Br	H	H

I-91	3-pyridin-4-yl-allyl	H	Br	H	H
I-92	3-(2-Chloro-pyridin-4-yl)-allyl	H	Br	H	H
I-93	4-chlorobenzyl	H	CN	H	H
I-94	Cinnamyl	H	CN	H	H
I-95	4-chlorocinnamyl	H	CN	H	H
I-96	4-fluorocinnamyl	H	CN	H	H
I-97	4-bromocinnamyl	H	CN	H	H
I-98	4-trifluoromethylcinnamyl	H	CN	H	H
I-99	4-trifluoromethoxycinnamyl	H	CN	H	H
I-100	4-pentafluoroethoxycinnamyl	H	CN	H	H
I-101	4-methoxycinnamyl	H	CN	H	H
I-102	4-ethoxycinnamyl	H	CN	H	H
I-103	4-cyanocinnamyl	H	CN	H	H
I-104	3-(6-chloro-pyridin-3-yl)-allyl	H	CN	H	H
I-105	3-(4-chlorophenyl)-but-2-enyl	H	CN	H	H
I-106	3-(4-chlorophenyl)-3-fluoro-allyl	H	CN	H	H
I-107	3-chloro-4-fluoro-cinnamyl	H	CN	H	H
I-108	3,5-dichloro-cinnamyl	H	CN	H	H
I-109	5-phenyl-penta-2,4-dienyl	H	CN	H	H
I-110	4-isopropylloxycarbonylamino-cinnamyl	H	CN	H	H
I-111	3-naphthalen-2-yl-allyl	H	CN	H	H
I-112	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	CN	H	H
I-113	3-(5-chloro-pyridin-2-yl)-allyl	H	CN	H	H
I-114	3-pyridin-4-yl-allyl	H	CN	H	H
I-115	3-(2-Chloro-pyridin-4-yl)-allyl	H	CN	H	H
I-116	4-chlorobenzyl	H	OMe	H	H
I-117	Cinnamyl	H	OMe	H	H
I-118	4-chlorocinnamyl	H	OMe	H	H
I-119	4-fluorocinnamyl	H	OMe	H	H
I-120	4-bromocinnamyl	H	OMe	H	H
I-121	4-trifluoromethylcinnamyl	H	OMe	H	H

I-122	4-trifluoromethoxycinnamyl	H	OMe	H	H
I-123	4-pentafluoroethoxycinnamyl	H	OMe	H	H
I-124	4-methoxycinnamyl	H	OMe	H	H
I-125	4-ethoxycinnamyl	H	OMe	H	H
I-126	4-cyanocinnamyl	H	OMe	H	H
I-127	3-(6-chloro-pyridin-3-yl)-allyl	H	OMe	H	H
I-128	3-(4-chlorophenyl)-but-2-enyl	H	OMe	H	H
I-129	3-(4-chlorophenyl)-3-fluoro-allyl	H	OMe	H	H
I-130	3-chloro-4-fluoro-cinnamyl	H	OMe	H	H
I-131	3,5-dichloro-cinnamyl	H	OMe	H	H
I-132	5-phenyl-penta-2,4-dienyl	H	OMe	H	H
I-133	4-isopropoxyloxycarbonylamino-cinnamyl	H	OMe	H	H
I-134	3-naphthalen-2-yl-allyl	H	OMe	H	H
I-135	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	OMe	H	H
I-136	3-(5-chloro-pyridin-2-yl)-allyl	H	OMe	H	H
I-137	3-pyridin-4-yl-allyl	H	OMe	H	H
I-138	3-(2-Chloro-pyridin-4-yl)-allyl	H	OMe	H	H
I-139	4-chlorobenzyl	H	OCF ₃	H	H
I-140	Cinnamyl	H	OCF ₃	H	H
I-141	4-chlorocinnamyl	H	OCF ₃	H	H
I-142	4-fluorocinnamyl	H	OCF ₃	H	H
I-143	4-bromocinnamyl	H	OCF ₃	H	H
I-144	4-trifluoromethylcinnamyl	H	OCF ₃	H	H
I-145	4-trifluoromethoxycinnamyl	H	OCF ₃	H	H
I-146	4-pentafluoroethoxycinnamyl	H	OCF ₃	H	H
I-147	4-methoxycinnamyl	H	OCF ₃	H	H
I-148	4-ethoxycinnamyl	H	OCF ₃	H	H
I-149	4-cyanocinnamyl	H	OCF ₃	H	H
I-150	3-(6-chloro-pyridin-3-yl)-allyl	H	OCF ₃	H	H
I-151	3-(4-chlorophenyl)-but-2-enyl	H	OCF ₃	H	H
I-152	3-(4-chlorophenyl)-3-fluoro-allyl	H	OCF ₃	H	H

I-153	3-chloro-4-fluoro-cinnamyl	H	OCF ₃	H	H
I-154	3,5-dichloro-cinnamyl	H	OCF ₃	H	H
I-155	5-phenyl-penta-2,4-dienyl	H	OCF ₃	H	H
I-156	4-isopropoxyloxycarbonylamino-cinnamyl	H	OCF ₃	H	H
I-157	3-naphthalen-2-yl-allyl	H	OCF ₃	H	H
I-158	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	OCF ₃	H	H
I-159	3-(5-chloro-pyridin-2-yl)-allyl	H	OCF ₃	H	H
I-160	3-pyridin-4-yl-allyl	H	OCF ₃	H	H
I-161	3-(2-Chloro-pyridin-4-yl)-allyl	H	OCF ₃	H	H
I-162	4-chlorobenzyl	H	CH ₃	H	H
I-163	Cinnamyl	H	CH ₃	H	H
I-164	4-chlorocinnamyl	H	CH ₃	H	H
I-165	4-fluorocinnamyl	H	CH ₃	H	H
I-166	4-bromocinnamyl	H	CH ₃	H	H
I-167	4-trifluoromethylcinnamyl	H	CH ₃	H	H
I-168	4-trifluoromethoxycinnamyl	H	CH ₃	H	H
I-169	4-pentafluoroethoxycinnamyl	H	CH ₃	H	H
I-170	4-methoxycinnamyl	H	CH ₃	H	H
I-171	4-ethoxycinnamyl	H	CH ₃	H	H
I-172	4-cyanocinnamyl	H	CH ₃	H	H
I-173	3-(6-chloro-pyridin-3-yl)-allyl	H	CH ₃	H	H
I-174	3-(4-chlorophenyl)-but-2-enyl	H	CH ₃	H	H
I-175	3-(4-chlorophenyl)-3-fluoro-allyl	H	CH ₃	H	H
I-176	3-chloro-4-fluoro-cinnamyl	H	CH ₃	H	H
I-177	3,5-dichloro-cinnamyl	H	CH ₃	H	H
I-178	5-phenyl-penta-2,4-dienyl	H	CH ₃	H	H
I-179	4-isopropoxyloxycarbonylamino-cinnamyl	H	CH ₃	H	H
I-180	3-naphthalen-2-yl-allyl	H	CH ₃	H	H
I-181	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	CH ₃	H	H
I-182	3-(5-chloro-pyridin-2-yl)-allyl	H	CH ₃	H	H
I-183	3-pyridin-4-yl-allyl	H	CH ₃	H	H

I-184	3-(2-Chloro-pyridin-4-yl)-allyl	H	CH ₃	H	H
I-185	4-chlorobenzyl	H	CF ₃	H	H
I-186	Cinnamyl	H	CF ₃	H	H
I-187	4-chlorocinnamyl	H	CF ₃	H	H
I-188	4-fluorocinnamyl	H	CF ₃	H	H
I-189	4-bromocinnamyl	H	CF ₃	H	H
I-190	4-trifluoromethylcinnamyl	H	CF ₃	H	H
I-191	4-trifluoromethoxycinnamyl	H	CF ₃	H	H
I-192	4-pentafluoroethoxycinnamyl	H	CF ₃	H	H
I-193	4-methoxycinnamyl	H	CF ₃	H	H
I-194	4-ethoxycinnamyl	H	CF ₃	H	H
I-195	4-cyanocinnamyl	H	CF ₃	H	H
I-196	3-(6-chloro-pyridin-3-yl)-allyl	H	CF ₃	H	H
I-197	3-(4-chlorophenyl)-but-2-enyl	H	CF ₃	H	H
I-198	3-(4-chlorophenyl)-3-fluoro-allyl	H	CF ₃	H	H
I-199	3-chloro-4-fluoro-cinnamyl	H	CF ₃	H	H
I-200	3,5-dichloro-cinnamyl	H	CF ₃	H	H
I-201	5-phenyl-penta-2,4-dienyl	H	CF ₃	H	H
I-202	4-isopropoxyloxycarbonylamino-cinnamyl	H	CF ₃	H	H
I-203	3-naphthalen-2-yl-allyl	H	CF ₃	H	H
I-204	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	CF ₃	H	H
I-205	3-(5-chloro-pyridin-2-yl)-allyl	H	CF ₃	H	H
I-206	3-pyridin-4-yl-allyl	H	CF ₃	H	H
I-207	3-(2-Chloro-pyridin-4-yl)-allyl	H	CF ₃	H	H
I-208	4-chlorobenzyl	H	H	Cl	H
I-209	Cinnamyl	H	H	Cl	H
I-210	4-chlorocinnamyl	H	H	Cl	H
I-211	4-fluorocinnamyl	H	H	Cl	H
I-212	4-bromocinnamyl	H	H	Cl	H
I-213	4-trifluoromethylcinnamyl	H	H	Cl	H
I-214	4-trifluoromethoxycinnamyl	H	H	Cl	H

I-215	4-pentafluoroethoxycinnamyl	H	H	Cl	H
I-216	4-methoxycinnamyl	H	H	Cl	H
I-217	4-ethoxycinnamyl	H	H	Cl	H
I-218	4-cyanocinnamyl	H	H	Cl	H
I-219	3-(6-chloro-pyridin-3-yl)-allyl	H	H	Cl	H
I-220	3-(4-chlorophenyl)-but-2-enyl	H	H	Cl	H
I-221	3-(4-chlorophenyl)-3-fluoro-allyl	H	H	Cl	H
I-222	3-chloro-4-fluoro-cinnamyl	H	H	Cl	H
I-223	3,5-dichloro-cinnamyl	H	H	Cl	H
I-224	5-phenyl-penta-2,4-dienyl	H	H	Cl	H
I-225	4-isopropylloxycarbonylamino-cinnamyl	H	H	Cl	H
I-226	3-naphthalen-2-yl-allyl	H	H	Cl	H
I-227	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	H	Cl	H
I-228	3-(5-chloro-pyridin-2-yl)-allyl	H	H	Cl	H
I-229	3-pyridin-4-yl-allyl	H	H	Cl	H
I-230	3-(2-Chloro-pyridin-4-yl)-allyl	H	H	Cl	H
I-231	4-chlorobenzyl	H	H	F	H
I-232	Cinnamyl	H	H	F	H
I-233	4-chlorocinnamyl	H	H	F	H
I-234	4-fluorocinnamyl	H	H	F	H
I-235	4-bromocinnamyl	H	H	F	H
I-236	4-trifluoromethylcinnamyl	H	H	F	H
I-237	4-trifluoromethoxycinnamyl	H	H	F	H
I-238	4-pentafluoroethoxycinnamyl	H	H	F	H
I-239	4-methoxycinnamyl	H	H	F	H
I-240	4-ethoxycinnamyl	H	H	F	H
I-241	4-cyanocinnamyl	H	H	F	H
I-242	3-(6-chloro-pyridin-3-yl)-allyl	H	H	F	H
I-243	3-(4-chlorophenyl)-but-2-enyl	H	H	F	H
I-244	3-(4-chlorophenyl)-3-fluoro-allyl	H	H	F	H
I-245	3-chloro-4-fluoro-cinnamyl	H	H	F	H

I-246	3,5-dichloro-cinnamyl	H	H	F	H
I-247	5-phenyl-penta-2,4-dienyl	H	H	F	H
I-248	4-isopropoxyloxycarbonylamino-cinnamyl	H	H	F	H
I-249	3-naphthalen-2-yl-allyl	H	H	F	H
I-250	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	H	F	H
I-251	3-(5-chloro-pyridin-2-yl)-allyl	H	H	F	H
I-252	3-pyridin-4-yl-allyl	H	H	F	H
I-253	3-(2-Chloro-pyridin-4-yl)-allyl	H	H	F	H
I-254	4-chlorobenzyl	H	H	Br	H
I-255	Cinnamyl	H	H	Br	H
I-256	4-chlorocinnamyl	H	H	Br	H
I-257	4-fluorocinnamyl	H	H	Br	H
I-258	4-bromocinnamyl	H	H	Br	H
I-259	4-trifluoromethylcinnamyl	H	H	Br	H
I-260	4-trifluoromethoxycinnamyl	H	H	Br	H
I-261	4-pentafluoroethoxycinnamyl	H	H	Br	H
I-262	4-methoxycinnamyl	H	H	Br	H
I-263	4-ethoxycinnamyl	H	H	Br	H
I-264	4-cyanocinnamyl	H	H	Br	H
I-265	3-(6-chloro-pyridin-3-yl)-allyl	H	H	Br	H
I-266	3-(4-chlorophenyl)-but-2-enyl	H	H	Br	H
I-267	3-(4-chlorophenyl)-3-fluoro-allyl	H	H	Br	H
I-268	3-chloro-4-fluoro-cinnamyl	H	H	Br	H
I-269	3,5-dichloro-cinnamyl	H	H	Br	H
I-270	5-phenyl-penta-2,4-dienyl	H	H	Br	H
I-271	4-isopropoxyloxycarbonylamino-cinnamyl	H	H	Br	H
I-272	3-naphthalen-2-yl-allyl	H	H	Br	H
I-273	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	H	Br	H
I-274	3-(5-chloro-pyridin-2-yl)-allyl	H	H	Br	H
I-275	3-pyridin-4-yl-allyl	H	H	Br	H
I-276	3-(2-Chloro-pyridin-4-yl)-allyl	H	H	Br	H

I-277	4-chlorobenzyl	H	H	OCF ₃	H
I-278	Cinnamyl	H	H	OCF ₃	H
I-279	4-chlorocinnamyl	H	H	OCF ₃	H
I-280	4-fluorocinnamyl	H	H	OCF ₃	H
I-281	4-bromocinnamyl	H	H	OCF ₃	H
I-282	4-trifluoromethylcinnamyl	H	H	OCF ₃	H
I-283	4-trifluoromethoxycinnamyl	H	H	OCF ₃	H
I-284	4-pentafluoroethoxycinnamyl	H	H	OCF ₃	H
I-285	4-methoxycinnamyl	H	H	OCF ₃	H
I-286	4-ethoxycinnamyl	H	H	OCF ₃	H
I-287	4-cyanocinnamyl	H	H	OCF ₃	H
I-288	3-(6-chloro-pyridin-3-yl)-allyl	H	H	OCF ₃	H
I-289	3-(4-chlorophenyl)-but-2-enyl	H	H	OCF ₃	H
I-290	3-(4-chlorophenyl)-3-fluoro-allyl	H	H	OCF ₃	H
I-291	3-chloro-4-fluoro-cinnamyl	H	H	OCF ₃	H
I-292	3,5-dichloro-cinnamyl	H	H	OCF ₃	H
I-293	5-phenyl-penta-2,4-dienyl	H	H	OCF ₃	H
I-294	4-isopropylloxycarbonylamino-cinnamyl	H	H	OCF ₃	H
I-295	3-naphthalen-2-yl-allyl	H	H	OCF ₃	H
I-296	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	H	OCF ₃	H
I-297	3-(5-chloro-pyridin-2-yl)-allyl	H	H	OCF ₃	H
I-298	3-pyridin-4-yl-allyl	H	H	OCF ₃	H
I-299	3-(2-Chloro-pyridin-4-yl)-allyl	H	H	OCF ₃	H
I-300	4-chlorobenzyl	H	H	CH ₃	H
I-301	Cinnamyl	H	H	CH ₃	H
I-302	4-chlorocinnamyl	H	H	CH ₃	H
I-303	4-fluorocinnamyl	H	H	CH ₃	H
I-304	4-bromocinnamyl	H	H	CH ₃	H
I-305	4-trifluoromethylcinnamyl	H	H	CH ₃	H
I-306	4-trifluoromethoxycinnamyl	H	H	CH ₃	H
I-307	4-pentafluoroethoxycinnamyl	H	H	CH ₃	H

I-308	4-methoxycinnamyl	H	H	CH ₃	H
I-309	4-ethoxycinnamyl	H	H	CH ₃	H
I-310	4-cyanocinnamyl	H	H	CH ₃	H
I-311	3-(6-chloro-pyridin-3-yl)-allyl	H	H	CH ₃	H
I-312	3-(4-chlorophenyl)-but-2-enyl	H	H	CH ₃	H
I-313	3-(4-chlorophenyl)-3-fluoro-allyl	H	H	CH ₃	H
I-314	3-chloro-4-fluoro-cinnamyl	H	H	CH ₃	H
I-315	3,5-dichloro-cinnamyl	H	H	CH ₃	H
I-316	5-phenyl-penta-2,4-dienyl	H	H	CH ₃	H
I-317	4-isopropoxyloxycarbonylamino-cinnamyl	H	H	CH ₃	H
I-318	3-naphthalen-2-yl-allyl	H	H	CH ₃	H
I-319	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	H	CH ₃	H
I-320	3-(5-chloro-pyridin-2-yl)-allyl	H	H	CH ₃	H
I-321	3-pyridin-4-yl-allyl	H	H	CH ₃	H
I-322	3-(2-Chloro-pyridin-4-yl)-allyl	H	H	CH ₃	H
I-323	4-chlorobenzyl	H	H	CF ₃	H
I-324	Cinnamyl	H	H	CF ₃	H
I-325	4-chlorocinnamyl	H	H	CF ₃	H
I-326	4-fluorocinnamyl	H	H	CF ₃	H
I-327	4-bromocinnamyl	H	H	CF ₃	H
I-328	4-trifluoromethylcinnamyl	H	H	CF ₃	H
I-329	4-trifluoromethoxycinnamyl	H	H	CF ₃	H
I-330	4-pentafluoroethoxycinnamyl	H	H	CF ₃	H
I-331	4-methoxycinnamyl	H	H	CF ₃	H
I-332	4-ethoxycinnamyl	H	H	CF ₃	H
I-333	4-cyanocinnamyl	H	H	CF ₃	H
I-334	3-(6-chloro-pyridin-3-yl)-allyl	H	H	CF ₃	H
I-335	3-(4-chlorophenyl)-but-2-enyl	H	H	CF ₃	H
I-336	3-(4-chlorophenyl)-3-fluoro-allyl	H	H	CF ₃	H
I-337	3-chloro-4-fluoro-cinnamyl	H	H	CF ₃	H
I-338	3,5-dichloro-cinnamyl	H	H	CF ₃	H

I-339	5-phenyl-penta-2,4-dienyl	H	H	CF ₃	H
I-340	4-isopropyloxycarbonylamino-cinnamyl	H	H	CF ₃	H
I-341	3-naphthalen-2-yl-allyl	H	H	CF ₃	H
I-342	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	H	CF ₃	H
I-343	3-(5-chloro-pyridin-2-yl)-allyl	H	H	CF ₃	H
I-344	3-pyridin-4-yl-allyl	H	H	CF ₃	H
I-345	3-(2-Chloro-pyridin-4-yl)-allyl	H	H	CF ₃	H
I-346	4-chlorobenzyl	F	H	H	H
I-347	Cinnamyl	F	H	H	H
I-348	4-chlorocinnamyl	F	H	H	H
I-349	4-fluorocinnamyl	F	H	H	H
I-350	4-bromocinnamyl	F	H	H	H
I-351	4-trifluoromethylcinnamyl	F	H	H	H
I-352	4-trifluoromethoxycinnamyl	F	H	H	H
I-353	4-pentafluoroethoxycinnamyl	F	H	H	H
I-354	4-methoxycinnamyl	F	H	H	H
I-355	4-ethoxycinnamyl	F	H	H	H
I-356	4-cyanocinnamyl	F	H	H	H
I-357	3-(6-chloro-pyridin-3-yl)-allyl	F	H	H	H
I-358	3-(4-chlorophenyl)-but-2-enyl	F	H	H	H
I-359	3-(4-chlorophenyl)-3-fluoro-allyl	F	H	H	H
I-360	3-chloro-4-fluoro-cinnamyl	F	H	H	H
I-361	3,5-dichloro-cinnamyl	F	H	H	H
I-362	5-phenyl-penta-2,4-dienyl	F	H	H	H
I-363	4-isopropyloxycarbonylamino-cinnamyl	F	H	H	H
I-364	3-naphthalen-2-yl-allyl	F	H	H	H
I-365	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	F	H	H	H
I-366	3-(5-chloro-pyridin-2-yl)-allyl	F	H	H	H
I-367	3-pyridin-4-yl-allyl	F	H	H	H
I-368	3-(2-Chloro-pyridin-4-yl)-allyl	F	H	H	H
I-369	4-chlorobenzyl	Cl	H	H	H

I-370	Cinnamyl	Cl	H	H	H
I-371	4-chlorocinnamyl	Cl	H	H	H
I-372	4-fluorocinnamyl	Cl	H	H	H
I-373	4-bromocinnamyl	Cl	H	H	H
I-374	4-trifluoromethylcinnamyl	Cl	H	H	H
I-375	4-trifluoromethoxycinnamyl	Cl	H	H	H
I-376	4-pentafluoroethoxycinnamyl	Cl	H	H	H
I-377	4-methoxycinnamyl	Cl	H	H	H
I-378	4-ethoxycinnamyl	Cl	H	H	H
I-379	4-cyanocinnamyl	Cl	H	H	H
I-380	3-(6-chloro-pyridin-3-yl)-allyl	Cl	H	H	H
I-381	3-(4-chlorophenyl)-but-2-enyl	Cl	H	H	H
I-382	3-(4-chlorophenyl)-3-fluoro-allyl	Cl	H	H	H
I-383	3-chloro-4-fluoro-cinnamyl	Cl	H	H	H
I-384	3,5-dichloro-cinnamyl	Cl	H	H	H
I-385	5-phenyl-penta-2,4-dienyl	Cl	H	H	H
I-386	4-isopropylloxycarbonylamino-cinnamyl	Cl	H	H	H
I-387	3-naphthalen-2-yl-allyl	Cl	H	H	H
I-388	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	Cl	H	H	H
I-389	3-(5-chloro-pyridin-2-yl)-allyl	Cl	H	H	H
I-390	3-pyridin-4-yl-allyl	Cl	H	H	H
I-391	3-(2-Chloro-pyridin-4-yl)-allyl	Cl	H	H	H
I-392	4-chlorobenzyl	Br	H	H	H
I-393	Cinnamyl	Br	H	H	H
I-394	4-chlorocinnamyl	Br	H	H	H
I-395	4-fluorocinnamyl	Br	H	H	H
I-396	4-bromocinnamyl	Br	H	H	H
I-397	4-trifluoromethylcinnamyl	Br	H	H	H
I-398	4-trifluoromethoxycinnamyl	Br	H	H	H
I-399	4-pentafluoroethoxycinnamyl	Br	H	H	H
I-400	4-methoxycinnamyl	Br	H	H	H

I-401	4-ethoxycinnamyl	Br	H	H	H
I-402	4-cyanocinnamyl	Br	H	H	H
I-403	3-(6-chloro-pyridin-3-yl)-allyl	Br	H	H	H
I-404	3-(4-chlorophenyl)-but-2-enyl	Br	H	H	H
I-405	3-(4-chlorophenyl)-3-fluoro-allyl	Br	H	H	H
I-406	3-chloro-4-fluoro-cinnamyl	Br	H	H	H
I-407	3,5-dichloro-cinnamyl	Br	H	H	H
I-408	5-phenyl-penta-2,4-dienyl	Br	H	H	H
I-409	4-isopropylloxycarbonylamino-cinnamyl	Br	H	H	H
I-410	3-naphthalen-2-yl-allyl	Br	H	H	H
I-411	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	Br	H	H	H
I-412	3-(5-chloro-pyridin-2-yl)-allyl	Br	H	H	H
I-413	3-pyridin-4-yl-allyl	Br	H	H	H
I-414	3-(2-Chloro-pyridin-4-yl)-allyl	Br	H	H	H
I-415	4-chlorobenzyl	CF ₃	H	H	H
I-416	Cinnamyl	CF ₃	H	H	H
I-417	4-chlorocinnamyl	CF ₃	H	H	H
I-418	4-fluorocinnamyl	CF ₃	H	H	H
I-419	4-bromocinnamyl	CF ₃	H	H	H
I-420	4-trifluoromethylcinnamyl	CF ₃	H	H	H
I-421	4-trifluoromethoxycinnamyl	CF ₃	H	H	H
I-422	4-pentafluoroethoxycinnamyl	CF ₃	H	H	H
I-423	4-methoxycinnamyl	CF ₃	H	H	H
I-424	4-ethoxycinnamyl	CF ₃	H	H	H
I-425	4-cyanocinnamyl	CF ₃	H	H	H
I-426	3-(6-chloro-pyridin-3-yl)-allyl	CF ₃	H	H	H
I-427	3-(4-chlorophenyl)-but-2-enyl	CF ₃	H	H	H
I-428	3-(4-chlorophenyl)-3-fluoro-allyl	CF ₃	H	H	H
I-429	3-chloro-4-fluoro-cinnamyl	CF ₃	H	H	H
I-430	3,5-dichloro-cinnamyl	CF ₃	H	H	H
I-431	5-phenyl-penta-2,4-dienyl	CF ₃	H	H	H

I-432	4-isopropoxyloxycarbonylamino-cinnamyl	CF ₃	H	H	H
I-433	3-naphthalen-2-yl-allyl	CF ₃	H	H	H
I-434	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	CF ₃	H	H	H
I-435	3-(5-chloro-pyridin-2-yl)-allyl	CF ₃	H	H	H
I-436	3-pyridin-4-yl-allyl	CF ₃	H	H	H
I-437	3-(2-Chloro-pyridin-4-yl)-allyl	CF ₃	H	H	H
I-438	4-chlorobenzyl	H	H	H	F
I-439	Cinnamyl	H	H	H	F
I-440	4-chlorocinnamyl	H	H	H	F
I-441	4-fluorocinnamyl	H	H	H	F
I-442	4-bromocinnamyl	H	H	H	F
I-443	4-trifluoromethylcinnamyl	H	H	H	F
I-444	4-trifluoromethoxycinnamyl	H	H	H	F
I-445	4-pentafluoroethoxycinnamyl	H	H	H	F
I-446	4-methoxycinnamyl	H	H	H	F
I-447	4-ethoxycinnamyl	H	H	H	F
I-448	4-cyanocinnamyl	H	H	H	F
I-449	3-(6-chloro-pyridin-3-yl)-allyl	H	H	H	F
I-450	3-(4-chlorophenyl)-but-2-enyl	H	H	H	F
I-451	3-(4-chlorophenyl)-3-fluoro-allyl	H	H	H	F
I-452	3-chloro-4-fluoro-cinnamyl	H	H	H	F
I-453	3,5-dichloro-cinnamyl	H	H	H	F
I-454	5-phenyl-penta-2,4-dienyl	H	H	H	F
I-455	4-isopropoxyloxycarbonylamino-cinnamyl	H	H	H	F
I-456	3-naphthalen-2-yl-allyl	H	H	H	F
I-457	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	H	H	F
I-458	3-(5-chloro-pyridin-2-yl)-allyl	H	H	H	F
I-459	3-pyridin-4-yl-allyl	H	H	H	F
I-460	3-(2-Chloro-pyridin-4-yl)-allyl	H	H	H	F
I-461	4-chlorobenzyl	H	H	H	Cl
I-462	Cinnamyl	H	H	H	Cl

I-463	4-chlorocinnamyl	H	H	H	Cl
I-464	4-fluorocinnamyl	H	H	H	Cl
I-465	4-bromocinnamyl	H	H	H	Cl
I-466	4-trifluoromethylcinnamyl	H	H	H	Cl
I-467	4-trifluoromethoxycinnamyl	H	H	H	Cl
I-468	4-pentafluoroethoxycinnamyl	H	H	H	Cl
I-469	4-methoxycinnamyl	H	H	H	Cl
I-470	4-ethoxycinnamyl	H	H	H	Cl
I-471	4-cyanocinnamyl	H	H	H	Cl
I-472	3-(6-chloro-pyridin-3-yl)-allyl	H	H	H	Cl
I-473	3-(4-chlorophenyl)-but-2-enyl	H	H	H	Cl
I-474	3-(4-chlorophenyl)-3-fluoro-allyl	H	H	H	Cl
I-475	3-chloro-4-fluoro-cinnamyl	H	H	H	Cl
I-476	3,5-dichloro-cinnamyl	H	H	H	Cl
I-477	5-phenyl-penta-2,4-dienyl	H	H	H	Cl
I-478	4-isopropylloxycarbonylamino-cinnamyl	H	H	H	Cl
I-479	3-naphthalen-2-yl-allyl	H	H	H	Cl
I-480	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	H	H	Cl
I-481	3-(5-chloro-pyridin-2-yl)-allyl	H	H	H	Cl
I-482	3-pyridin-4-yl-allyl	H	H	H	Cl
I-483	3-(2-Chloro-pyridin-4-yl)-allyl	H	H	H	Cl
I-484	4-chlorobenzyl	H	F	F	H
I-485	Cinnamyl	H	F	F	H
I-486	4-chlorocinnamyl	H	F	F	H
I-487	4-fluorocinnamyl	H	F	F	H
I-488	4-bromocinnamyl	H	F	F	H
I-489	4-trifluoromethylcinnamyl	H	F	F	H
I-490	4-trifluoromethoxycinnamyl	H	F	F	H
I-491	4-pentafluoroethoxycinnamyl	H	F	F	H
I-492	4-methoxycinnamyl	H	F	F	H
I-493	4-ethoxycinnamyl	H	F	F	H

I-494	4-cyanocinnamyl	H	F	F	H
I-495	3-(6-chloro-pyridin-3-yl)-allyl	H	F	F	H
I-496	3-(4-chlorophenyl)-but-2-enyl	H	F	F	H
I-497	3-(4-chlorophenyl)-3-fluoro-allyl	H	F	F	H
I-498	3-chloro-4-fluoro-cinnamyl	H	F	F	H
I-499	3,5-dichloro-cinnamyl	H	F	F	H
I-500	5-phenyl-penta-2,4-dienyl	H	F	F	H
I-501	4-isopropoxyloxycarbonylamino-cinnamyl	H	F	F	H
I-502	3-naphthalen-2-yl-allyl	H	F	F	H
I-503	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	F	F	H
I-504	3-(5-chloro-pyridin-2-yl)-allyl	H	F	F	H
I-505	3-pyridin-4-yl-allyl	H	F	F	H
I-506	3-(2-Chloro-pyridin-4-yl)-allyl	H	F	F	H
I-507	4-chlorobenzyl	H	F	Cl	H
I-508	Cinnamyl	H	F	Cl	H
I-509	4-chlorocinnamyl	H	F	Cl	H
I-510	4-fluorocinnamyl	H	F	Cl	H
I-511	4-bromocinnamyl	H	F	Cl	H
I-512	4-trifluoromethylcinnamyl	H	F	Cl	H
I-513	4-trifluoromethoxycinnamyl	H	F	Cl	H
I-514	4-pentafluoroethoxycinnamyl	H	F	Cl	H
I-515	4-methoxycinnamyl	H	F	Cl	H
I-516	4-ethoxycinnamyl	H	F	Cl	H
I-517	4-cyanocinnamyl	H	F	Cl	H
I-518	3-(6-chloro-pyridin-3-yl)-allyl	H	F	Cl	H
I-519	3-(4-chlorophenyl)-but-2-enyl	H	F	Cl	H
I-520	3-(4-chlorophenyl)-3-fluoro-allyl	H	F	Cl	H
I-521	3-chloro-4-fluoro-cinnamyl	H	F	Cl	H
I-522	3,5-dichloro-cinnamyl	H	F	Cl	H
I-523	5-phenyl-penta-2,4-dienyl	H	F	Cl	H
I-524	4-isopropoxyloxycarbonylamino-cinnamyl	H	F	Cl	H

I-525	3-naphthalen-2-yl-allyl	H	F	Cl	H
I-526	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	F	Cl	H
I-527	3-(5-chloro-pyridin-2-yl)-allyl	H	F	Cl	H
I-528	3-pyridin-4-yl-allyl	H	F	Cl	H
I-529	3-(2-Chloro-pyridin-4-yl)-allyl	H	F	Cl	H
I-530	4-chlorobenzyl	H	Cl	F	H
I-531	Cinnamyl	H	Cl	F	H
I-532	4-chlorocinnamyl	H	Cl	F	H
I-533	4-fluorocinnamyl	H	Cl	F	H
I-534	4-bromocinnamyl	H	Cl	F	H
I-535	4-trifluoromethylcinnamyl	H	Cl	F	H
I-536	4-trifluoromethoxycinnamyl	H	Cl	F	H
I-537	4-pentafluoroethoxycinnamyl	H	Cl	F	H
I-538	4-methoxycinnamyl	H	Cl	F	H
I-539	4-ethoxycinnamyl	H	Cl	F	H
I-540	4-cyanocinnamyl	H	Cl	F	H
I-541	3-(6-chloro-pyridin-3-yl)-allyl	H	Cl	F	H
I-542	3-(4-chlorophenyl)-but-2-enyl	H	Cl	F	H
I-543	3-(4-chlorophenyl)-3-fluoro-allyl	H	Cl	F	H
I-544	3-chloro-4-fluoro-cinnamyl	H	Cl	F	H
I-545	3,5-dichloro-cinnamyl	H	Cl	F	H
I-546	5-phenyl-penta-2,4-dienyl	H	Cl	F	H
I-547	4-isopropylloxycarbonylamino-cinnamyl	H	Cl	F	H
I-548	3-naphthalen-2-yl-allyl	H	Cl	F	H
I-549	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	Cl	F	H
I-550	3-(5-chloro-pyridin-2-yl)-allyl	H	Cl	F	H
I-551	3-pyridin-4-yl-allyl	H	Cl	F	H
I-552	3-(2-Chloro-pyridin-4-yl)-allyl	H	Cl	F	H
I-553	4-chlorobenzyl	H	Cl	Cl	H
I-554	Cinnamyl	H	Cl	Cl	H
I-555	4-chlorocinnamyl	H	Cl	Cl	H

I-556	4-fluorocinnamyl	H	Cl	Cl	H
I-557	4-bromocinnamyl	H	Cl	Cl	H
I-558	4-trifluoromethylcinnamyl	H	Cl	Cl	H
I-559	4-trifluoromethoxycinnamyl	H	Cl	Cl	H
I-560	4-pentafluoroethoxycinnamyl	H	Cl	Cl	H
I-561	4-methoxycinnamyl	H	Cl	Cl	H
I-562	4-ethoxycinnamyl	H	Cl	Cl	H
I-563	4-cyanocinnamyl	H	Cl	Cl	H
I-564	3-(6-chloro-pyridin-3-yl)-allyl	H	Cl	Cl	H
I-565	3-(4-chlorophenyl)-but-2-enyl	H	Cl	Cl	H
I-566	3-(4-chlorophenyl)-3-fluoro-allyl	H	Cl	Cl	H
I-567	3-chloro-4-fluoro-cinnamyl	H	Cl	Cl	H
I-568	3,5-dichloro-cinnamyl	H	Cl	Cl	H
I-569	5-phenyl-penta-2,4-dienyl	H	Cl	Cl	H
I-570	4-isopropylloxycarbonylamino-cinnamyl	H	Cl	Cl	H
I-571	3-naphthalen-2-yl-allyl	H	Cl	Cl	H
I-572	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	Cl	Cl	H
I-573	3-(5-chloro-pyridin-2-yl)-allyl	H	Cl	Cl	H
I-574	3-pyridin-4-yl-allyl	H	Cl	Cl	H
I-575	3-(2-Chloro-pyridin-4-yl)-allyl	H	Cl	Cl	H
I-576	4-chlorobenzyl	H	-OCF ₂ O-		H
I-577	Cinnamyl	H	-OCF ₂ O-		H
I-578	4-chlorocinnamyl	H	-OCF ₂ O-		H
I-579	4-fluorocinnamyl	H	-OCF ₂ O-		H
I-580	4-bromocinnamyl	H	-OCF ₂ O-		H
I-581	4-trifluoromethylcinnamyl	H	-OCF ₂ O-		H
I-582	4-trifluoromethoxycinnamyl	H	-OCF ₂ O-		H
I-583	4-pentafluoroethoxycinnamyl	H	-OCF ₂ O-		H
I-584	4-methoxycinnamyl	H	-OCF ₂ O-		H
I-585	4-ethoxycinnamyl	H	-OCF ₂ O-		H
I-586	4-cyanocinnamyl	H	-OCF ₂ O-		H

I-587	3-(6-chloro-pyridin-3-yl)-allyl	H	-OCF ₂ O-	H
I-588	3-(4-chlorophenyl)-but-2-enyl	H	-OCF ₂ O-	H
I-589	3-(4-chlorophenyl)-3-fluoro-allyl	H	-OCF ₂ O-	H
I-590	3-chloro-4-fluoro-cinnamyl	H	-OCF ₂ O-	H
I-591	3,5-dichloro-cinnamyl	H	-OCF ₂ O-	H
I-592	5-phenyl-penta-2,4-dienyl	H	-OCF ₂ O-	H
I-593	4-isopropoxyloxycarbonylamino-cinnamyl	H	-OCF ₂ O-	H
I-594	3-naphthalen-2-yl-allyl	H	-OCF ₂ O-	H
I-595	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	-OCF ₂ O-	H
I-596	3-(5-chloro-pyridin-2-yl)-allyl	H	-OCF ₂ O-	H
I-597	3-pyridin-4-yl-allyl	H	-OCF ₂ O-	H
I-598	3-(2-Chloro-pyridin-4-yl)-allyl	H	-OCF ₂ O-	H
I-599	4-chlorobenzyl	H	-C ₄ H ₄ -	H
I-600	Cinnamyl	H	-C ₄ H ₄ -	H
I-601	4-chlorocinnamyl	H	-C ₄ H ₄ -	H
I-602	4-fluorocinnamyl	H	-C ₄ H ₄ -	H
I-603	4-bromocinnamyl	H	-C ₄ H ₄ -	H
I-604	4-trifluoromethylcinnamyl	H	-C ₄ H ₄ -	H
I-605	4-trifluoromethoxycinnamyl	H	-C ₄ H ₄ -	H
I-606	4-pentafluoroethoxycinnamyl	H	-C ₄ H ₄ -	H
I-607	4-methoxycinnamyl	H	-C ₄ H ₄ -	H
I-608	4-ethoxycinnamyl	H	-C ₄ H ₄ -	H
I-609	4-cyanocinnamyl	H	-C ₄ H ₄ -	H
I-610	3-(6-chloro-pyridin-3-yl)-allyl	H	-C ₄ H ₄ -	H
I-611	3-(4-chlorophenyl)-but-2-enyl	H	-C ₄ H ₄ -	H
I-612	3-(4-chlorophenyl)-3-fluoro-allyl	H	-C ₄ H ₄ -	H
I-613	3-chloro-4-fluoro-cinnamyl	H	-C ₄ H ₄ -	H
I-614	3,5-dichloro-cinnamyl	H	-C ₄ H ₄ -	H
I-615	5-phenyl-penta-2,4-dienyl	H	-C ₄ H ₄ -	H
I-616	4-isopropoxyloxycarbonylamino-cinnamyl	H	-C ₄ H ₄ -	H
I-617	3-naphthalen-2-yl-allyl	H	-C ₄ H ₄ -	H

I-618	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	-C ₄ H ₄ -	H	
I-619	3-(5-chloro-pyridin-2-yl)-allyl	H	-C ₄ H ₄ -	H	
I-620	3-pyridin-4-yl-allyl	H	-C ₄ H ₄ -	H	
I-621	3-(2-Chloro-pyridin-4-yl)-allyl	H	-C ₄ H ₄ -	H	
I-622	4-chlorobenzyl	Cl	H	Cl	H
I-623	Cinnamyl	Cl	H	Cl	H
I-624	4-chlorocinnamyl	Cl	H	Cl	H
I-625	4-fluorocinnamyl	Cl	H	Cl	H
I-226	4-bromocinnamyl	Cl	H	Cl	H
I-627	4-trifluoromethylcinnamyl	Cl	H	Cl	H
I-628	4-trifluoromethoxycinnamyl	Cl	H	Cl	H
I-629	4-pentafluoroethoxycinnamyl	Cl	H	Cl	H
I-630	4-methoxycinnamyl	Cl	H	Cl	H
I-631	4-ethoxycinnamyl	Cl	H	Cl	H
I-632	4-cyanocinnamyl	Cl	H	Cl	H
I-633	3-(6-chloro-pyridin-3-yl)-allyl	Cl	H	Cl	H
I-634	3-(4-chlorophenyl)-but-2-enyl	Cl	H	Cl	H
I-635	3-(4-chlorophenyl)-3-fluoro-allyl	Cl	H	Cl	H
I-636	3-chloro-4-fluoro-cinnamyl	Cl	H	Cl	H
I-637	3,5-dichloro-cinnamyl	Cl	H	Cl	H
I-638	5-phenyl-penta-2,4-dienyl	Cl	H	Cl	H
I-639	4-isopropylloxycarbonylamino-cinnamyl	Cl	H	Cl	H
I-640	3-naphthalen-2-yl-allyl	Cl	H	Cl	H
I-641	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	Cl	H	Cl	H
I-642	3-(5-chloro-pyridin-2-yl)-allyl	Cl	H	Cl	H
I-643	3-pyridin-4-yl-allyl	Cl	H	Cl	H
I-644	3-(2-Chloro-pyridin-4-yl)-allyl	Cl	H	Cl	H
I-645	4-chlorobenzyl	Cl	Cl	H	H
I-646	Cinnamyl	Cl	Cl	H	H
I-647	4-chlorocinnamyl	Cl	Cl	H	H
I-648	4-fluorocinnamyl	Cl	Cl	H	H

I-649	4-bromocinnamyl	Cl	Cl	H	H
I-650	4-trifluoromethylcinnamyl	Cl	Cl	H	H
I-651	4-trifluoromethoxycinnamyl	Cl	Cl	H	H
I-652	4-pentafluoroethoxycinnamyl	Cl	Cl	H	H
I-653	4-methoxycinnamyl	Cl	Cl	H	H
I-654	4-ethoxycinnamyl	Cl	Cl	H	H
I-655	4-cyanocinnamyl	Cl	Cl	H	H
I-656	3-(6-chloro-pyridin-3-yl)-allyl	Cl	Cl	H	H
I-657	3-(4-chlorophenyl)-but-2-enyl	Cl	Cl	H	H
I-658	3-(4-chlorophenyl)-3-fluoro-allyl	Cl	Cl	H	H
I-659	3-chloro-4-fluoro-cinnamyl	Cl	Cl	H	H
I-660	3,5-dichloro-cinnamyl	Cl	Cl	H	H
I-661	5-phenyl-penta-2,4-dienyl	Cl	Cl	H	H
I-662	4-isopropylloxycarbonylamino-cinnamyl	Cl	Cl	H	H
I-663	3-naphthalen-2-yl-allyl	Cl	Cl	H	H
I-664	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	Cl	Cl	H	H
I-665	3-(5-chloro-pyridin-2-yl)-allyl	Cl	Cl	H	H
I-666	3-pyridin-4-yl-allyl	Cl	Cl	H	H
I-667	3-(2-Chloro-pyridin-4-yl)-allyl	Cl	Cl	H	H
I-668	4-chlorobenzyl	H	Cl	H	Cl
I-669	Cinnamyl	H	Cl	H	Cl
I-670	4-chlorocinnamyl	H	Cl	H	Cl
I-671	4-fluorocinnamyl	H	Cl	H	Cl
I-672	4-bromocinnamyl	H	Cl	H	Cl
I-673	4-trifluoromethylcinnamyl	H	Cl	H	Cl
I-674	4-trifluoromethoxycinnamyl	H	Cl	H	Cl
I-675	4-pentafluoroethoxycinnamyl	H	Cl	H	Cl
I-676	4-methoxycinnamyl	H	Cl	H	Cl
I-677	4-ethoxycinnamyl	H	Cl	H	Cl
I-678	4-cyanocinnamyl	H	Cl	H	Cl
I-679	3-(6-chloro-pyridin-3-yl)-allyl	H	Cl	H	Cl

I-680	3-(4-chlorophenyl)-but-2-enyl	H	Cl	H	Cl
I-681	3-(4-chlorophenyl)-3-fluoro-allyl	H	Cl	H	Cl
I-682	3-chloro-4-fluoro-cinnamyl	H	Cl	H	Cl
I-683	3,5-dichloro-cinnamyl	H	Cl	H	Cl
I-684	5-phenyl-penta-2,4-dienyl	H	Cl	H	Cl
I-685	4-isopropylloxycarbonylamino-cinnamyl	H	Cl	H	Cl
I-686	3-naphthalen-2-yl-allyl	H	Cl	H	Cl
I-687	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	Cl	H	Cl
I-688	3-(5-chloro-pyridin-2-yl)-allyl	H	Cl	H	Cl
I-689	3-pyridin-4-yl-allyl	H	Cl	H	Cl
I-690	3-(2-Chloro-pyridin-4-yl)-allyl	H	Cl	H	Cl
I-691	4-chlorobenzyl	H	F	H	F
I-692	Cinnamyl	H	F	H	F
I-693	4-chlorocinnamyl	H	F	H	F
I-694	4-fluorocinnamyl	H	F	H	F
I-695	4-bromocinnamyl	H	F	H	F
I-696	4-trifluoromethylcinnamyl	H	F	H	F
I-697	4-trifluoromethoxycinnamyl	H	F	H	F
I-698	4-pentafluoroethoxycinnamyl	H	F	H	F
I-699	4-methoxycinnamyl	H	F	H	F
I-700	4-ethoxycinnamyl	H	F	H	F
I-701	4-cyanocinnamyl	H	F	H	F
I-702	3-(6-chloro-pyridin-3-yl)-allyl	H	F	H	F
I-703	3-(4-chlorophenyl)-but-2-enyl	H	F	H	F
I-704	3-(4-chlorophenyl)-3-fluoro-allyl	H	F	H	F
I-705	3-chloro-4-fluoro-cinnamyl	H	F	H	F
I-706	3,5-dichloro-cinnamyl	H	F	H	F
I-707	5-phenyl-penta-2,4-dienyl	H	F	H	F
I-708	4-isopropylloxycarbonylamino-cinnamyl	H	F	H	F
I-709	3-naphthalen-2-yl-allyl	H	F	H	F
I-710	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	H	F	H	F

I-711	3-(5-chloro-pyridin-2-yl)-allyl	H	F	H	F
I-712	3-pyridin-4-yl-allyl	H	F	H	F
I-713	3-(2-Chloro-pyridin-4-yl)-allyl	H	F	H	F
I-714	4-chlorobenzyl	F	H	F	H
I-715	Cinnamyl	F	H	F	H
I-716	4-chlorocinnamyl	F	H	F	H
I-717	4-fluorocinnamyl	F	H	F	H
I-718	4-bromocinnamyl	F	H	F	H
I-719	4-trifluoromethylcinnamyl	F	H	F	H
I-720	4-trifluoromethoxycinnamyl	F	H	F	H
I-721	4-pentafluoroethoxycinnamyl	F	H	F	H
I-722	4-methoxycinnamyl	F	H	F	H
I-723	4-ethoxycinnamyl	F	H	F	H
I-724	4-cyanocinnamyl	F	H	F	H
I-725	3-(6-chloro-pyridin-3-yl)-allyl	F	H	F	H
I-726	3-(4-chlorophenyl)-but-2-enyl	F	H	F	H
I-727	3-(4-chlorophenyl)-3-fluoro-allyl	F	H	F	H
I-728	3-chloro-4-fluoro-cinnamyl	F	H	F	H
I-729	3,5-dichloro-cinnamyl	F	H	F	H
I-730	5-phenyl-penta-2,4-dienyl	F	H	F	H
I-731	4-isopropylloxycarbonylamino-cinnamyl	F	H	F	H
I-732	3-naphthalen-2-yl-allyl	F	H	F	H
I-733	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	F	H	F	H
I-734	3-(5-chloro-pyridin-2-yl)-allyl	F	H	F	H
I-735	3-pyridin-4-yl-allyl	F	H	F	H
I-736	3-(2-Chloro-pyridin-4-yl)-allyl	F	H	F	H
I-737	4-chlorobenzyl	F	F	H	H
I-738	Cinnamyl	F	F	H	H
I-739	4-chlorocinnamyl	F	F	H	H
I-740	4-fluorocinnamyl	F	F	H	H
I-741	4-bromocinnamyl	F	F	H	H

I-742	4-trifluoromethylcinnamyl	F	F	H	H
I-743	4-trifluoromethoxycinnamyl	F	F	H	H
I-744	4-pentafluoroethoxycinnamyl	F	F	H	H
I-745	4-methoxycinnamyl	F	F	H	H
I-746	4-ethoxycinnamyl	F	F	H	H
I-747	4-cyanocinnamyl	F	F	H	H
I-748	3-(6-chloro-pyridin-3-yl)-allyl	F	F	H	H
I-749	3-(4-chlorophenyl)-but-2-enyl	F	F	H	H
I-750	3-(4-chlorophenyl)-3-fluoro-allyl	F	F	H	H
I-751	3-chloro-4-fluoro-cinnamyl	F	F	H	H
I-752	3,5-dichloro-cinnamyl	F	F	H	H
I-753	5-phenyl-penta-2,4-dienyl	F	F	H	H
I-754	4-isopropylloxycarbonylamino-cinnamyl	F	F	H	H
I-755	3-naphthalen-2-yl-allyl	F	F	H	H
I-756	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	F	F	H	H
I-757	3-(5-chloro-pyridin-2-yl)-allyl	F	F	H	H
I-758	3-pyridin-4-yl-allyl	F	F	H	H
I-759	3-(2-Chloro-pyridin-4-yl)-allyl	F	F	H	H
I-760	4-chlorobenzyl	Cl	F	H	H
I-761	Cinnamyl	Cl	F	H	H
I-762	4-chlorocinnamyl	Cl	F	H	H
I-763	4-fluorocinnamyl	Cl	F	H	H
I-764	4-bromocinnamyl	Cl	F	H	H
I-765	4-trifluoromethylcinnamyl	Cl	F	H	H
I-766	4-trifluoromethoxycinnamyl	Cl	F	H	H
I-767	4-pentafluoroethoxycinnamyl	Cl	F	H	H
I-768	4-methoxycinnamyl	Cl	F	H	H
I-769	4-ethoxycinnamyl	Cl	F	H	H
I-770	4-cyanocinnamyl	Cl	F	H	H
I-771	3-(6-chloro-pyridin-3-yl)-allyl	Cl	F	H	H
I-772	3-(4-chlorophenyl)-but-2-enyl	Cl	F	H	H

I-773	3-(4-chlorophenyl)-3-fluoro-allyl	Cl	F	H	H
I-774	3-chloro-4-fluoro-cinnamyl	Cl	F	H	H
I-775	3,5-dichloro-cinnamyl	Cl	F	H	H
I-776	5-phenyl-penta-2,4-dienyl	Cl	F	H	H
I-777	4-isopropoxyloxycarbonylamino-cinnamyl	Cl	F	H	H
I-778	3-naphthalen-2-yl-allyl	Cl	F	H	H
I-779	3-(5-trifluoromethyl-pyridin-2-yl)-allyl	Cl	F	H	H
I-780	3-(5-chloro-pyridin-2-yl)-allyl	Cl	F	H	H
I-781	3-pyridin-4-yl-allyl	Cl	F	H	H
I-782	3-(2-Chloro-pyridin-4-yl)-allyl	Cl	F	H	H

Table II provides 782 compounds of formula Ia wherein B₁ is OH, B₂, B₃ and B₄ are all hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R⁸ are given in Table 1.

Table III provides 782 compounds of formula Ia wherein B₁ is F, B₂, B₃ and B₄ are all hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R⁸ are given in Table 1.

Table IV provides 782 compounds of formula Ia wherein B₁ is F, B₂ is F and B₃ and B₄ are both hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R⁸ are given in Table 1.

Table V provides 782 compounds of formula Ia wherein B₁ and B₂ are both CH₃, and B₃ and B₄ are both hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R⁸ are given in Table 1.

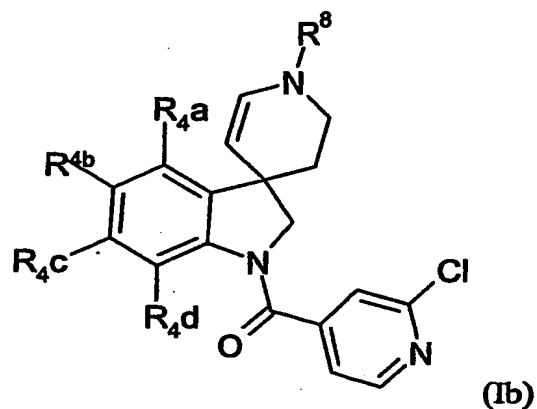
Table VI provides 782 compounds of formula Ia wherein B₁ and B₃ are both CH₃, and B₂ and B₄ are both hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R⁸ are given in Table 1.

Table VII provides 782 compounds of formula Ia wherein B₁ and B₄ are both CH₃, and B₂ and B₃ are both hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R⁸ are given in Table 1.

Table VIII provides 782 compounds of formula Ia wherein B₁, B₂, B₃ and B₄ are all CH₃ and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R⁸ are given in Table 1.

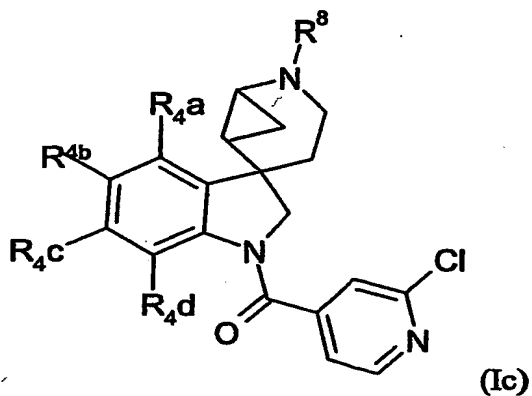
Table IX provides 782 compounds of formula Ia wherein B₁ and B₂ are together =O and B₃ and B₄ are both hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R⁸ are given in Table 1.

Table X provides 782 compounds of formula Ib



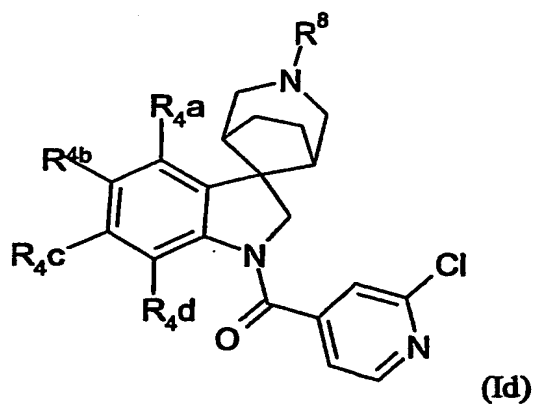
wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table XI provides 782 compounds of formula Ic



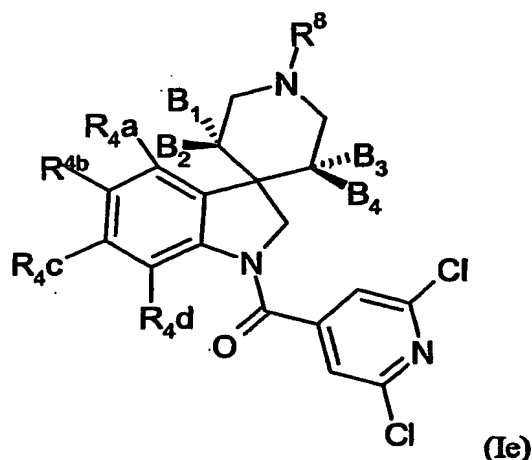
wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table XII provides 782 compounds of formula Id



wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table XIII provides 782 compounds of formula Ie



wherein B₁ is CH₃, B₂, B₃ and B₄ are all hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R⁸ are given in Table 1

Table XIV provides 782 compounds of formula Ie wherein B₁ is OH, B₂, B₃ and B₄ are all hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R⁸ are given in Table 1.

Table XV provides 782 compounds of formula Ie wherein B₁ is F, B₂, B₃ and B₄ are all hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R⁸ are given in Table 1.

Table XVI provides 782 compounds of formula Ie wherein B₁ is F, B₂ is F and B₃ and B₄ are both hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R⁸ are given in Table 1.

Table XVII provides 782 compounds of formula Ie wherein B₁ and B₂ are both CH₃, and B₃ and B₄ are both hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R⁸ are given in Table 1.

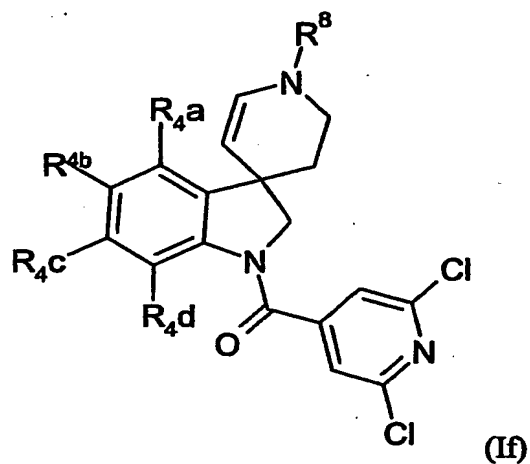
Table XVIII provides 782 compounds of formula Ie wherein B₁ and B₃ are both CH₃, and B₂ and B₄ are both hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R⁸ are given in Table 1.

Table XIX provides 782 compounds of formula Ie wherein B₁ and B₄ are both CH₃, and B₂ and B₃ are both hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R⁸ are given in Table 1.

Table XX provides 782 compounds of formula Ia wherein B₁, B₂, B₃ and B₄ are all CH₃ and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R⁸ are given in Table 1.

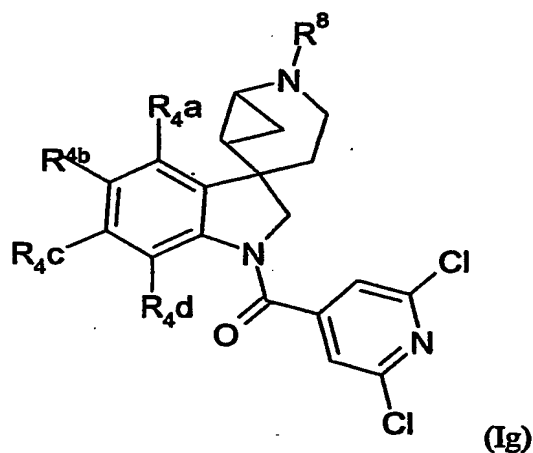
Table XXI provides 782 compounds of formula Ie wherein B₁ and B₂ are together =O and B₃ and B₄ are both hydrogen and the values of R^{4a}, R^{4b}, R^{4c}, R^{4d} and R⁸ are given in Table 1.

Table XXII provides 782 compounds of formula If



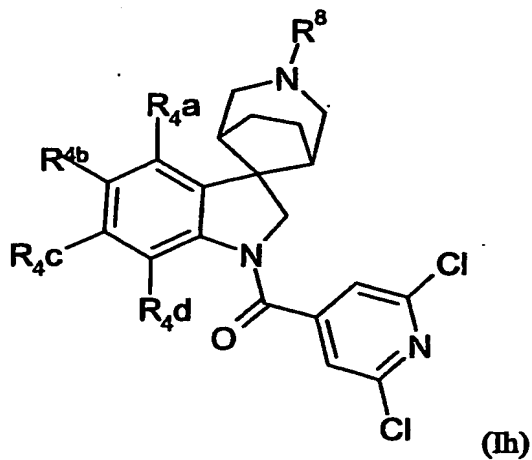
wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table XXIII provides 782 compounds of formula Ig



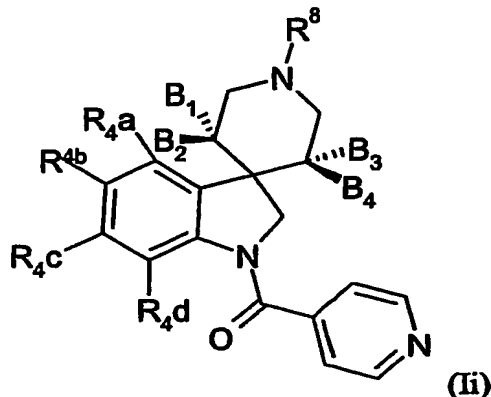
wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table XXIV provides 782 compounds of formula Ih



wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table XXV provides 782 compounds of formula Ii



wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1

Table XXVI provides 782 compounds of formula Ii wherein B_1 is OH, B_2 , B_3 and B_4 are all hydrogen and the values of R^{4a} , R^{4b} , R^{4c} , R^{4d} and R^8 are given in Table 1.

Table XXVII provides 782 compounds of formula Ii wherein B_1 is F, B_2 , B_3 and B_4 are all hydrogen and the values of R^{4a} , R^{4b} , R^{4c} , R^{4d} and R^8 are given in Table 1.

Table XXVIII provides 782 compounds of formula Ii wherein B_1 is F, B_2 is F and B_3 and B_4 are both hydrogen and the values of R^{4a} , R^{4b} , R^{4c} , R^{4d} and R^8 are given in Table 1.

Table XXIX provides 782 compounds of formula Ii wherein B_1 and B_2 are both CH_3 , and B_3 and B_4 are both hydrogen and the values of R^{4a} , R^{4b} , R^{4c} , R^{4d} and R^8 are given in Table 1.

Table XXX provides 782 compounds of formula Ii wherein B_1 and B_3 are both CH_3 , and B_2 and B_4 are both hydrogen and the values of R^{4a} , R^{4b} , R^{4c} , R^{4d} and R^8 are given in Table 1.

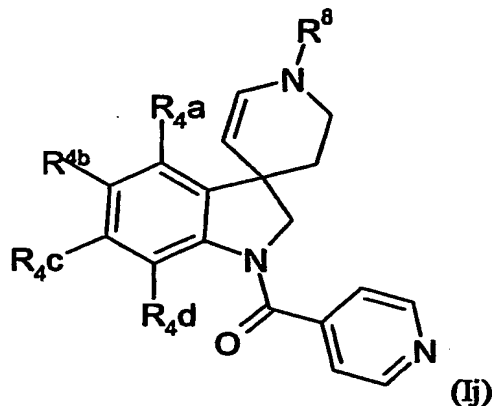
Table XXXI provides 782 compounds of formula Ii wherein B_1 and B_4 are both CH_3 , and B_2 and B_3 are both hydrogen and the values of R^{4a} , R^{4b} , R^{4c} , R^{4d} and R^8 are given in Table 1.

Table XXXII provides 782 compounds of formula Ii wherein B_1 , B_2 , B_3 and B_4 are all CH_3 and the values of R^{4a} , R^{4b} , R^{4c} , R^{4d} and R^8 are given in Table 1.

Table XXXIII provides 782 compounds of formula Ii wherein B_1 and B_2 are together $=O$ and B_3 and B_4 are both hydrogen and the values of R^{4a} , R^{4b} , R^{4c} , R^{4d} and R^8 are given in

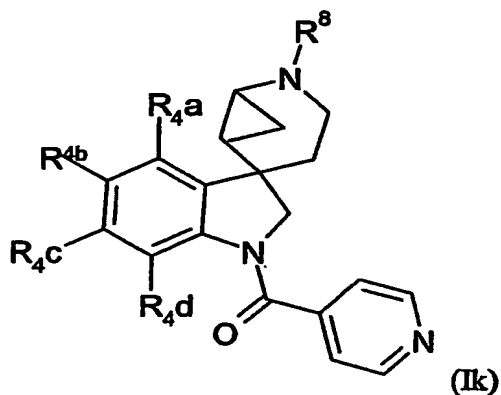
Table 1.

Table XXXIV provides 782 compounds of formula Ij



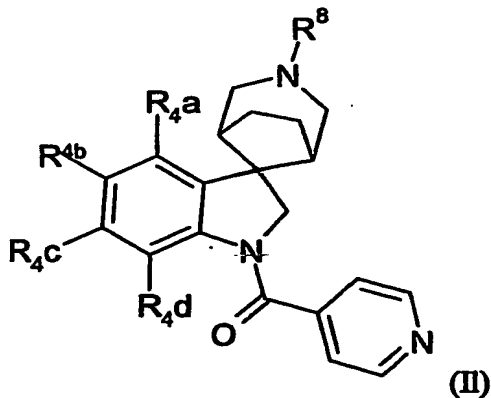
wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1.

Table XXXV provides 782 compounds of formula Ik



wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1.

Table XXXVI provides 782 compounds of formula II



wherein the values of R^8 , R^{4a} , R^{4b} , R^{4c} and R^{4d} are given in Table 1.

Table XXXVII provides 1 compound (compound XXXVII.1) of formula Ib wherein the value of R^8 is t-butoxycarbonyl, R^{4a} , R^{4c} and R^{4d} are all hydrogen and R^{4b} is chloro.

Mass spectra data were obtained for selected compounds of Tables I to XXXVII using LCMS: LC5: 254nm - gradient 10% A to 100% B A=H₂O+0.01%HCOOH B=CH₃CN/CH₃OH+0.01%HCOOH positive electrospray 150-1000 m/z.

The data are shown in Table 2.

Table 2

Compound No	M.p. (°C)	LCMS (Ret. Time, min)	MS data
I.49		2.37	526/528
II.49	110	2.26	528/530
III.49	96-97	3.22	530/532
IV.49	100-101	4.01	548
XXXVII.1		3.95	321/323

5

The compounds of the invention may be synthesised by various methods. For example the compounds of formula 1 may be synthesised as described in Scheme 1.

Thus a compound of formula 1 may be synthesised from a compound of formula 2 by reaction with an acid such as trifluoroacetic acid at ambient temperature in an organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane followed by neutralisation of the reaction mixture with an aqueous solution of an inorganic base such as sodium carbonate, sodium bicarbonate or similar compound. The intermediate thus formed reacts with an alkylating agent of the formula R⁸-L, where L is chloride, bromide, iodide or a sulfonate (e.g. mesylate or tosylate) or similar leaving group at a temperature of between ambient temperature and 100°C, typically ambient temperature, in an organic solvent such as acetonitrile, dimethylformamide, dichloromethane, chloroform or 1,2-dichloroethane in the presence of a tertiary amine base such as triethylamine or diisopropylethylamine and optionally catalysed by halide salts such as sodium iodide, potassium iodide or tetrabutylammonium iodide.

Alternatively a compound of formula 2, after removal of the t-butoxycarbonyl protecting group as described above, may be reacted with an aldehyde of the formula RCHO at a temperature between ambient temperature and 100°C in an organic solvent such as tetrahydrofuran or ethanol or mixtures of solvents in the presence of a reducing agent such as borane-pyridine complex, sodium borohydride, sodium (triacetoxy)borohydride, sodium cyanoborohydride or such like, to produce a compound of formula 1 where R⁸ is CH₂-R.

In an alternative method compounds of formula 1 may be obtained from compounds of formula 6 by reaction with a suitable electrophilic species. Compounds of formula 1 where Y is a carbonyl group may be formed by the reaction of compounds of formula 6 with a carboxylic acid derivative of formula $R1-C(O)-Z$ where Z is chloride, hydroxy, alkoxy or acyloxy at a temperature between $0^{\circ}C$ and $150^{\circ}C$ optionally in an organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane, optionally in the presence of a tertiary amine base such as triethylamine or diisopropylethylamine and optionally in the presence of a coupling agent such as dicyclohexylcarbodiimide. Compounds of formula 1 where Y is a carbonyl group and R1 is an amino substituent of formula $R'-NH-$ may be formed by the reaction of compounds of formula 6 with an isocyanate of formula $R'-N=C=O$ under similar conditions. Compounds of formula 1 where Y is a group of formula $S(O)_q$ may be formed from compounds of formula 6 by treatment with compounds of formula $R1-S(O)_q-Cl$ under similar conditions. Compounds of formula 1 where Y is a thiocarbonyl group and R1 is an amino substituent of formula $R'-NH-$ may be formed by the reaction of compounds of formula 6 with an isothiocyanate of formula $R'-N=C=S$ under similar conditions. Alternatively compounds of formula 1 where Y is a thiocarbonyl group and R1 is a carbon substituent may be formed by treatment of compounds of formula 1 where Y is a carbonyl group and R1 is a carbon substituent with a suitable thionating agent such as Lawesson's reagent.

In the above procedures, acid derivatives of the formula $R1-C(O)-Z$, isocyanates of formula $R'-N=C=O$, isothiocyanates of formula $R'-N=C=S$ and sulfur electrophiles of formula $R1-S(O)_q-Cl$ are either known compounds or may be formed from known compounds by known methods by a person skilled in the art.

A compound of formula 2 may be obtained from a compound of formula 3 by reaction with a suitable electrophilic species, as described above.

Compounds of formula 3 may be obtained by reacting compounds of formula 4 with compounds of formula 10 at a temperature of between $0^{\circ}C$ and $100^{\circ}C$ in an organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane in the presence of an acid such as hydrochloric acid or trifluoroacetic acid and optionally a co-solvent such as water, methanol or ethanol. The intermediates formed are subsequently treated with a reducing agent such as sodium borohydride, sodium (triacetoxyl)borohydride, sodium cyanoborohydride,

triethylsilane or similar at ambient temperature in organic solvent such as ethanol or chloroform. The basic procedure is described in Tetrahedron (1997), 53, 10983-10992.

Similarly, compounds of formula 6 may be synthesised by reacting compounds of formula 7 with compounds of formula 10 using the conditions described above.

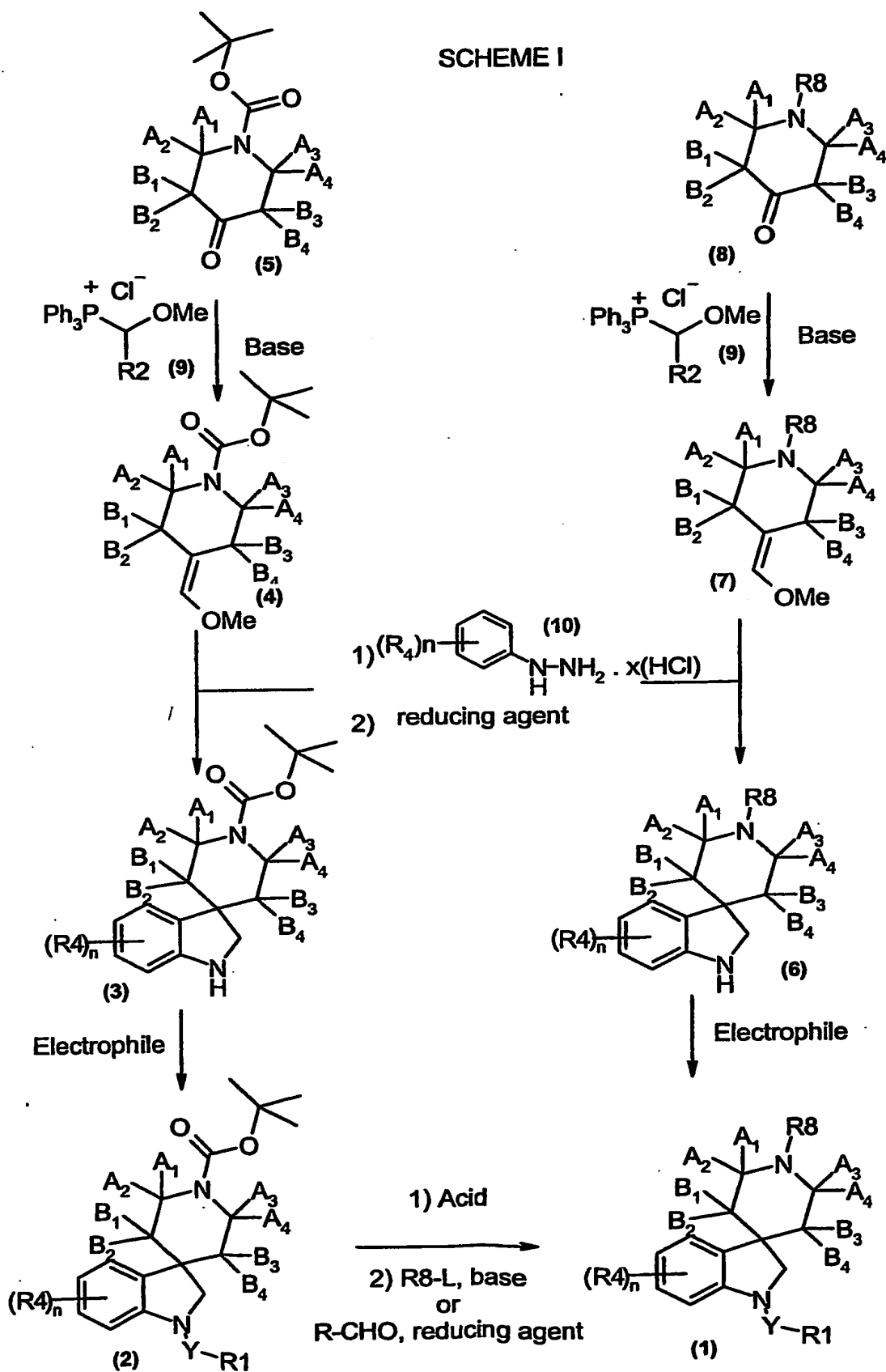
5 Compounds of formula 4 may be obtained from compounds of formula 5 by reaction with a 1-alkoxy substituted phosphonium salt of formula 9 such as methoxymethyl(triphenyl)phosphonium chloride and a base such as potassium *tert*-butoxide at a temperature of 0°C to 80°C in tetrahydrofuran.

10 Similarly, compounds of formula 7 may be synthesised from compounds of formula 8 using the conditions described above.

Compounds of formula 5, 8 and 10 are either known compounds or may be formed from known compounds by known methods by a person skilled in the art.

Certain compounds of formula 2 and formula 6 are novel and as such form a further aspect of the invention.

SCHEME I

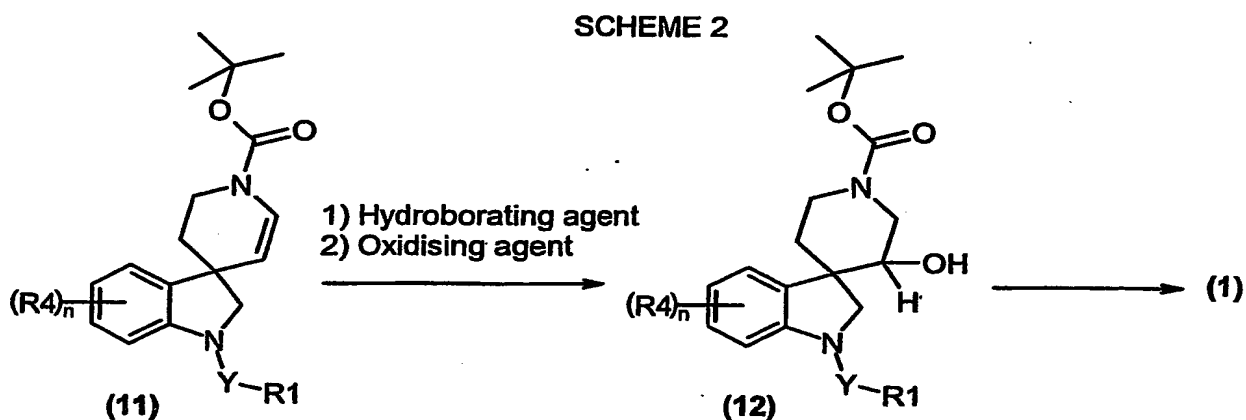


In an alternative method a compound of formula 1 wherein A_1 , A_2 , A_3 , A_4 , B_2 , B_3 and B_4 are H and B_1 is OH may be obtained from a compound of formula 12 by removal of the t-butoxycarbonyl protecting group and reaction with an alkylating agent R8-L as described previously. A Compound of formula 12 may be obtained from an intermediate of formula 11

5 by reaction with an hydroborating agent such as borane in an organic solvent such as dichloromethane or tetrahydrofuran at a temperature of between 0°C to 80°C , followed by treatment with an oxidising agent such as hydrogen peroxide in the presence of water and a base such as sodium hydroxide at a temperature of between 0°C to 100°C (Scheme 2).

The skilled person will readily recognise that it is possible to convert one compound

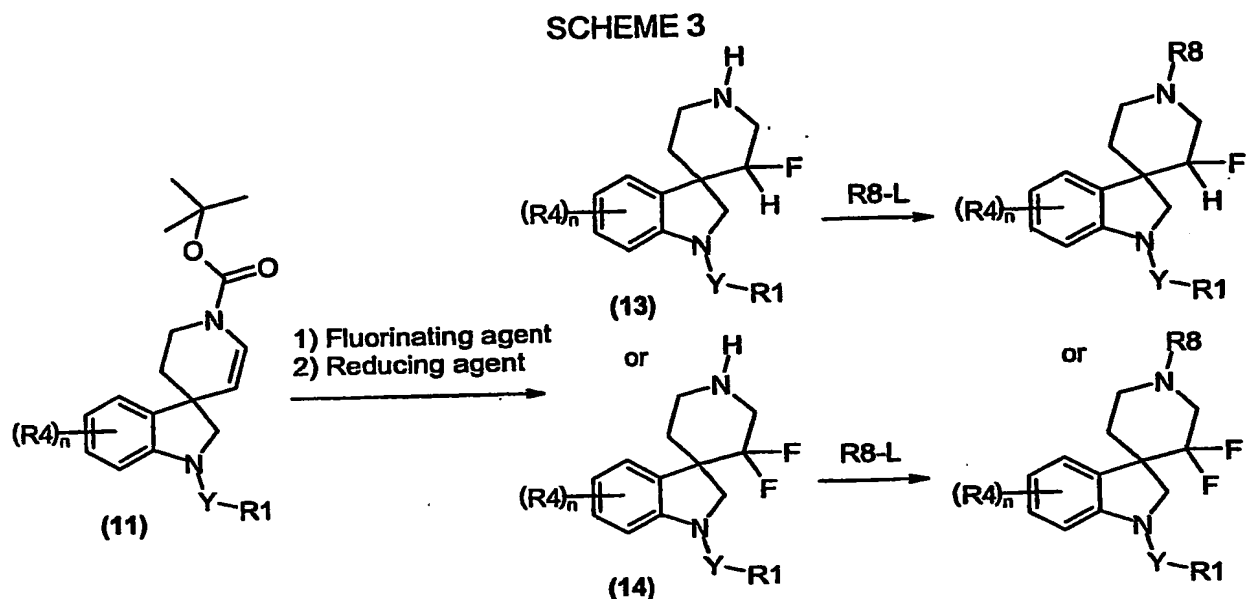
10 of formula 12 to other compounds of formula 1.



In another alternative method, a compound of formula 11 may be reacted with a

15 suitable fluorinating species, such as Selectfluor[®], followed by reaction with a reducing agent such as triethylsilane in the presence of an acid such as trifluoroacetic acid to provide a compound of formula 13 or a compound of formula 14. Compounds of formula 13 (or 14) may be converted into compounds of formula 1 wherein A_1 , A_2 , A_3 , A_4 , B_2 , B_3 and B_4 are H and B_1 is F (i.e. A_1 , A_2 , A_3 , A_4 , B_3 and B_4 are H, B_1 and B_2 are F) by reaction with an

20 alkylating agent of the formula R8-L as described previously (Scheme 3).



Compounds of formula 11 may be synthesised by the method outlined on Scheme 4.

Thus a compound of formula 11 may be synthesised from a compound of formula 15
5 by reacting with an electrophilic species as described previously.

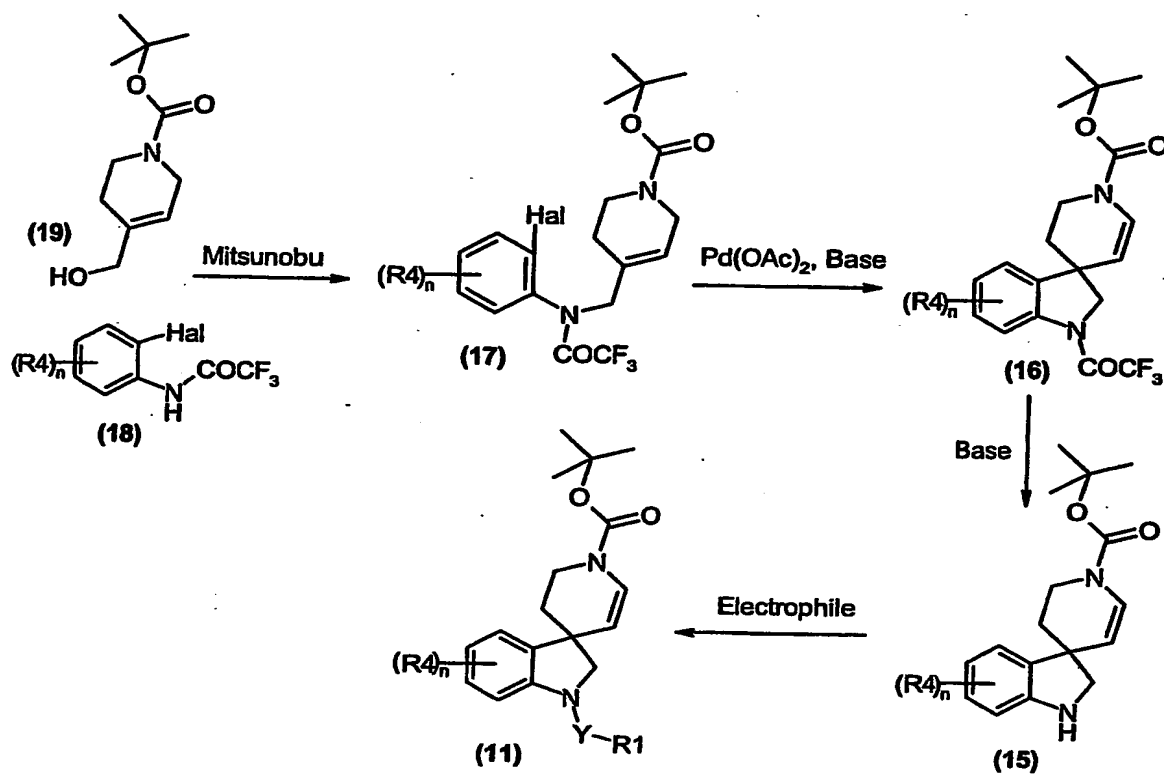
A compound of formula 15 may be prepared from a compound of formula 16 by
treatment with a suitable base such as potassium carbonate at a temperature of between 0°C
to 80°C in an organic solvent such as methanol or ethanol in combination with water.

A compound of formula 16 may be synthesised by cyclising a compound of formula
10 17 under Heck conditions, typically in the presence of a catalyst such as palladium(II)
acetate, optionally a ligand such as triphenylphosphine or/and an additive such as
tetrabutylammonium bromide and a base such as triethylamine in an organic solvent such as
tetrahydrofuran, acetonitrile, dimethylformamide, N-methyl-pyrrolidinone or
dimethylacetamide at a temperature of between 20°C to 140°C.

15 Compounds of formula 17 may be synthesised by coupling compounds of formula 18
with the known alcohol 19 (*J. Org. Chem.* 2001, 66, 5545-5551) under Mitsunobu
conditions, typically using a phosphine such as triphenylphosphine and an azo compound
such as diethylazodicarboxylate or diisopropylazodicarboxylate in an organic solvent such as
tetrahydrofuran or toluene at a temperature of between 0°C to 80°C.

20 Compounds of formula 18 are either known compounds or may be formed from
known compounds by known methods by a person skilled in the art.

SCHEME 4



The compounds of formula (I) can be used to combat and control infestations of insect pests such as Lepidoptera, Diptera, Hemiptera, Thysanoptera, Orthoptera, Dictyoptera, Coleoptera, Siphonaptera, Hymenoptera and Isoptera and also other invertebrate pests, for example, acarine, nematode and mollusc pests. Insects, acarines, nematodes and molluscs are hereinafter collectively referred to as pests. The pests which may be combated and controlled by the use of the invention compounds include those pests associated with agriculture (which term includes the growing of crops for food and fibre products), horticulture and animal husbandry, companion animals, forestry and the storage of products of vegetable origin (such as fruit, grain and timber); those pests associated with the damage of man-made structures and the transmission of diseases of man and animals; and also nuisance pests (such as flies).

Examples of pest species which may be controlled by the compounds of formula (I) include: *Myzus persicae* (aphid), *Aphis gossypii* (aphid), *Aphis fabae* (aphid), *Lygus* spp. (capsids), *Dysdercus* spp. (capsids), *Nilaparvata lugens* (planthopper), *Nephotettix inciticeps* (leafhopper), *Nezara* spp. (stinkbugs), *Euschistus* spp. (stinkbugs), *Leptocorisa* spp. (stinkbugs), *Frankliniella occidentalis* (thrip), *Thrips* spp. (thrips), *Leptinotarsa decemlineata* (Colorado potato beetle), *Anthonomus grandis* (boll weevil), *Aonidiella* spp. (scale insects), *Trialeurodes* spp. (white flies), *Bemisia tabaci* (white fly), *Ostrinia nubilalis* (European corn borer), *Spodoptera littoralis* (cotton leafworm), *Heliothis virescens* (tobacco budworm), *Helicoverpa armigera* (cotton bollworm), *Helicoverpa zea* (cotton bollworm), *Sylepta derogata* (cotton leaf roller), *Pieris brassicae* (white butterfly), *Plutella xylostella* (diamond back moth), *Agrotis* spp. (cutworms), *Chilo suppressalis* (rice stem borer), *Locusta migratoria* (locust), *Chortiocetes terminifera* (locust), *Diabrotica* spp. (rootworms), *Panonychus ulmi* (European red mite), *Panonychus citri* (citrus red mite), *Tetranychus urticae* (two-spotted spider mite), *Tetranychus cinnabarinus* (carmine spider mite), *Phyllocoptruta oleivora* (citrus rust mite), *Polyphagotarsonemus latus* (broad mite), *Brevipalpus* spp. (flat mites), *Boophilus microplus* (cattle tick), *Dermacentor variabilis* (American dog tick), *Ctenocephalides felis* (cat flea), *Liriomyza* spp. (leafminer), *Musca domestica* (housefly), *Aedes aegypti* (mosquito), *Anopheles* spp. (mosquitoes), *Culex* spp. (mosquitoes), *Lucillia* spp. (blowflies), *Blattella germanica* (cockroach), *Periplaneta americana* (cockroach), *Blatta orientalis* (cockroach), termites of the Mastotermitidae (for example *Mastotermes* spp.), the Kalotermitidae (for example *Neotermes* spp.), the

Rhinotermitidae (for example *Coptotermes formosanus*, *Reticulitermes flavipes*, *R. speratu*, *R. virginicus*, *R. hesperus*, and *R. santonensis*) and the Termitidae (for example *Globitermes sulphureus*), *Solenopsis geminata* (fire ant), *Monomorium pharaonis* (pharaoh's ant), *Damalinea* spp. and *Linognathus* spp. (biting and sucking lice), *Meloidogyne* spp. (root knot
5 nematodes), *Globodera* spp. and *Heterodera* spp. (cyst nematodes), *Pratylenchus* spp. (lesion nematodes), *Rhodopholus* spp. (banana burrowing nematodes), *Tylenchulus* spp. (citrus nematodes), *Haemonchus contortus* (barber pole worm), *Caenorhabditis elegans* (vinegar eelworm), *Trichostrongylus* spp. (gastro intestinal nematodes) and *Deroceras reticulatum* (slug).

10 The invention therefore provides a method of combating and controlling insects, acarines, nematodes or molluscs which comprises applying an insecticidally, acaricidally, nematocidally or molluscicidally effective amount of a compound of formula (I), or a composition containing a compound of formula (I), to a pest, a locus of pest, or to a plant susceptible to attack by a pest, The compounds of formula (I) are preferably used against
15 insects, acarines or nematodes.

The term "plant" as used herein includes seedlings, bushes and trees.

In order to apply a compound of formula (I) as an insecticide, acaricide, nematocide or molluscicide to a pest, a locus of pest, or to a plant susceptible to attack by a pest, a compound of formula (I) is usually formulated into a composition which includes, in addition
20 to the compound of formula (I), a suitable inert diluent or carrier and, optionally, a surface active agent (SFA). SFAs are chemicals which are able to modify the properties of an interface (for example, liquid/solid, liquid/air or liquid/liquid interfaces) by lowering the interfacial tension and thereby leading to changes in other properties (for example dispersion, emulsification and wetting). It is preferred that all compositions (both solid and liquid
25 formulations) comprise, by weight, 0.0001 to 95%, more preferably 1 to 85%, for example 5 to 60%, of a compound of formula (I). The composition is generally used for the control of pests such that a compound of formula (I) is applied at a rate of from 0.1g to 10kg per hectare, preferably from 1g to 6kg per hectare, more preferably from 1g to 1kg per hectare.

When used in a seed dressing, a compound of formula (I) is used at a rate of 0.0001g
30 to 10g (for example 0.001g or 0.05g), preferably 0.005g to 10g, more preferably 0.005g to 4g, per kilogram of seed.

In another aspect the present invention provides an insecticidal, acaricidal, nematocidal or molluscicidal composition comprising an insecticidally, acaricidally, nematocidally or molluscicidally effective amount of a compound of formula (I) and a suitable carrier or diluent therefor. The composition is preferably an insecticidal, acaricidal, nematocidal or molluscicidal composition.

In a still further aspect the invention provides a method of combating and controlling pests at a locus which comprises treating the pests or the locus of the pests with an insecticidally, acaricidally, nematocidally or molluscicidally effective amount of a composition comprising a compound of formula (I). The compounds of formula (I) are preferably used against insects, acarines or nematodes.

The compositions can be chosen from a number of formulation types, including dustable powders (DP), soluble powders (SP), water soluble granules (SG), water dispersible granules (WG), wettable powders (WP), granules (GR) (slow or fast release), soluble concentrates (SL), oil miscible liquids (OL), ultra low volume liquids (UL), emulsifiable concentrates (EC), dispersible concentrates (DC), emulsions (both oil in water (EW) and water in oil (EO)), micro-emulsions (ME), suspension concentrates (SC), aerosols, fogging/smoke formulations, capsule suspensions (CS) and seed treatment formulations. The formulation type chosen in any instance will depend upon the particular purpose envisaged and the physical, chemical and biological properties of the compound of formula (I).

Dustable powders (DP) may be prepared by mixing a compound of formula (I) with one or more solid diluents (for example natural clays, kaolin, pyrophyllite, bentonite, alumina, montmorillonite, kieselguhr, chalk, diatomaceous earths, calcium phosphates, calcium and magnesium carbonates, sulphur, lime, flours, talc and other organic and inorganic solid carriers) and mechanically grinding the mixture to a fine powder.

Soluble powders (SP) may be prepared by mixing a compound of formula (I) with one or more water-soluble inorganic salts (such as sodium bicarbonate, sodium carbonate or magnesium sulphate) or one or more water-soluble organic solids (such as a polysaccharide) and, optionally, one or more wetting agents, one or more dispersing agents or a mixture of said agents to improve water dispersibility/solubility. The mixture is then ground to a fine powder. Similar compositions may also be granulated to form water soluble granules (SG).

Wettable powders (WP) may be prepared by mixing a compound of formula (I) with one or more solid diluents or carriers, one or more wetting agents and, preferably, one or

more dispersing agents and, optionally, one or more suspending agents to facilitate the dispersion in liquids. The mixture is then ground to a fine powder. Similar compositions may also be granulated to form water dispersible granules (WG).

Granules (GR) may be formed either by granulating a mixture of a compound of formula (I) and one or more powdered solid diluents or carriers, or from pre-formed blank granules by absorbing a compound of formula (I) (or a solution thereof, in a suitable agent) in a porous granular material (such as pumice, attapulgite clays, fuller's earth, kieselguhr, diatomaceous earths or ground corn cobs) or by adsorbing a compound of formula (I) (or a solution thereof, in a suitable agent) on to a hard core material (such as sands, silicates, mineral carbonates, sulphates or phosphates) and drying if necessary. Agents which are commonly used to aid absorption or adsorption include solvents (such as aliphatic and aromatic petroleum solvents, alcohols, ethers, ketones and esters) and sticking agents (such as polyvinyl acetates, polyvinyl alcohols, dextrans, sugars and vegetable oils). One or more other additives may also be included in granules (for example an emulsifying agent, wetting agent or dispersing agent).

Dispersible Concentrates (DC) may be prepared by dissolving a compound of formula (I) in water or an organic solvent, such as a ketone, alcohol or glycol ether. These solutions may contain a surface active agent (for example to improve water dilution or prevent crystallisation in a spray tank).

Emulsifiable concentrates (EC) or oil-in-water emulsions (EW) may be prepared by dissolving a compound of formula (I) in an organic solvent (optionally containing one or more wetting agents, one or more emulsifying agents or a mixture of said agents). Suitable organic solvents for use in ECs include aromatic hydrocarbons (such as alkylbenzenes or alkylnaphthalenes, exemplified by SOLVESSO 100, SOLVESSO 150 and SOLVESSO 200; SOLVESSO is a Registered Trade Mark), ketones (such as cyclohexanone or methylcyclohexanone) and alcohols (such as benzyl alcohol, furfuryl alcohol or butanol), N-alkylpyrrolidones (such as N-methylpyrrolidone or N-octylpyrrolidone), dimethyl amides of fatty acids (such as C₈-C₁₀ fatty acid dimethylamide) and chlorinated hydrocarbons. An EC product may spontaneously emulsify on addition to water, to produce an emulsion with sufficient stability to allow spray application through appropriate equipment. Preparation of an EW involves obtaining a compound of formula (I) either as a liquid (if it is not a liquid at room temperature, it may be melted at a reasonable temperature, typically below 70°C) or in

solution (by dissolving it in an appropriate solvent) and then emulsifying the resultant liquid or solution into water containing one or more SFAs, under high shear, to produce an emulsion. Suitable solvents for use in EWs include vegetable oils, chlorinated hydrocarbons (such as chlorobenzenes), aromatic solvents (such as alkylbenzenes or alkylnaphthalenes) and other appropriate organic solvents which have a low solubility in water.

Microemulsions (ME) may be prepared by mixing water with a blend of one or more solvents with one or more SFAs, to produce spontaneously a thermodynamically stable isotropic liquid formulation. A compound of formula (I) is present initially in either the water or the solvent/SFA blend. Suitable solvents for use in MEs include those hereinbefore described for use in ECs or in EWs. An ME may be either an oil-in-water or a water-in-oil system (which system is present may be determined by conductivity measurements) and may be suitable for mixing water-soluble and oil-soluble pesticides in the same formulation. An ME is suitable for dilution into water, either remaining as a microemulsion or forming a conventional oil-in-water emulsion.

Suspension concentrates (SC) may comprise aqueous or non-aqueous suspensions of finely divided insoluble solid particles of a compound of formula (I). SCs may be prepared by ball or bead milling the solid compound of formula (I) in a suitable medium, optionally with one or more dispersing agents, to produce a fine particle suspension of the compound. One or more wetting agents may be included in the composition and a suspending agent may be included to reduce the rate at which the particles settle. Alternatively, a compound of formula (I) may be dry milled and added to water, containing agents hereinbefore described, to produce the desired end product.

Aerosol formulations comprise a compound of formula (I) and a suitable propellant (for example *n*-butane). A compound of formula (I) may also be dissolved or dispersed in a suitable medium (for example water or a water miscible liquid, such as *n*-propanol) to provide compositions for use in non-pressurised, hand-actuated spray pumps.

A compound of formula (I) may be mixed in the dry state with a pyrotechnic mixture to form a composition suitable for generating, in an enclosed space, a smoke containing the compound.

Capsule suspensions (CS) may be prepared in a manner similar to the preparation of EW formulations but with an additional polymerisation stage such that an aqueous dispersion of oil droplets is obtained, in which each oil droplet is encapsulated by a polymeric shell and

contains a compound of formula (I) and, optionally, a carrier or diluent therefor. The polymeric shell may be produced by either an interfacial polycondensation reaction or by a coacervation procedure. The compositions may provide for controlled release of the compound of formula (I) and they may be used for seed treatment. A compound of formula (I) may also be formulated in a biodegradable polymeric matrix to provide a slow, controlled release of the compound.

A composition may include one or more additives to improve the biological performance of the composition (for example by improving wetting, retention or distribution on surfaces; resistance to rain on treated surfaces; or uptake or mobility of a compound of formula (I)). Such additives include surface active agents, spray additives based on oils, for example certain mineral oils or natural plant oils (such as soy bean and rape seed oil), and blends of these with other bio-enhancing adjuvants (ingredients which may aid or modify the action of a compound of formula (I)).

A compound of formula (I) may also be formulated for use as a seed treatment, for example as a powder composition, including a powder for dry seed treatment (DS), a water soluble powder (SS) or a water dispersible powder for slurry treatment (WS), or as a liquid composition, including a flowable concentrate (FS), a solution (LS) or a capsule suspension (CS). The preparations of DS, SS, WS, FS and LS compositions are very similar to those of, respectively, DP, SP, WP, SC and DC compositions described above. Compositions for treating seed may include an agent for assisting the adhesion of the composition to the seed (for example a mineral oil or a film-forming barrier).

Wetting agents, dispersing agents and emulsifying agents may be surface SFAs of the cationic, anionic, amphoteric or non-ionic type.

Suitable SFAs of the cationic type include quaternary ammonium compounds (for example cetyltrimethyl ammonium bromide), imidazolines and amine salts.

Suitable anionic SFAs include alkali metals salts of fatty acids, salts of aliphatic monoesters of sulphuric acid (for example sodium lauryl sulphate), salts of sulphonated aromatic compounds (for example sodium dodecylbenzenesulphonate, calcium dodecylbenzenesulphonate, butyl naphthalene sulphonate and mixtures of sodium di-*isopropyl*- and tri-*isopropyl*-naphthalene sulphonates), ether sulphates, alcohol ether sulphates (for example sodium laureth-3-sulphate), ether carboxylates (for example sodium laureth-3-carboxylate), phosphate esters (products from the reaction between one or more

fatty alcohols and phosphoric acid (predominately mono-esters) or phosphorus pentoxide (predominately di-esters), for example the reaction between lauryl alcohol and tetraphosphoric acid; additionally these products may be ethoxylated), sulphosuccinamates, paraffin or olefine sulphonates, taurates and lignosulphonates.

5 Suitable SFAs of the amphoteric type include betaines, propionates and glycines.

 Suitable SFAs of the non-ionic type include condensation products of alkylene oxides, such as ethylene oxide, propylene oxide, butylene oxide or mixtures thereof, with fatty alcohols (such as oleyl alcohol or cetyl alcohol) or with alkylphenols (such as octylphenol, nonylphenol or octylcresol); partial esters derived from long chain fatty acids or hexitol
10 anhydrides; condensation products of said partial esters with ethylene oxide; block polymers (comprising ethylene oxide and propylene oxide); alkanolamides; simple esters (for example fatty acid polyethylene glycol esters); amine oxides (for example lauryl dimethyl amine oxide); and lecithins.

 Suitable suspending agents include hydrophilic colloids (such as polysaccharides, polyvinylpyrrolidone or sodium carboxymethylcellulose) and swelling clays (such as
15 bentonite or attapulgite).

 A compound of formula (I) may be applied by any of the known means of applying pesticidal compounds. For example, it may be applied, formulated or unformulated, to the pests or to a locus of the pests (such as a habitat of the pests, or a growing plant liable to
20 infestation by the pests) or to any part of the plant, including the foliage, stems, branches or roots, to the seed before it is planted or to other media in which plants are growing or are to be planted (such as soil surrounding the roots, the soil generally, paddy water or hydroponic culture systems), directly or it may be sprayed on, dusted on, applied by dipping, applied as a cream or paste formulation, applied as a vapour or applied through distribution or
25 incorporation of a composition (such as a granular composition or a composition packed in a water-soluble bag) in soil or an aqueous environment.

 A compound of formula (I) may also be injected into plants or sprayed onto vegetation using electrodynamic spraying techniques or other low volume methods, or applied by land or aerial irrigation systems.

30 Compositions for use as aqueous preparations (aqueous solutions or dispersions) are generally supplied in the form of a concentrate containing a high proportion of the active ingredient, the concentrate being added to water before use. These concentrates, which may

include DCs, SCs, ECs, EWs, MEs SGs, SPs, WPs, WGs and CSs, are often required to withstand storage for prolonged periods and, after such storage, to be capable of addition to water to form aqueous preparations which remain homogeneous for a sufficient time to enable them to be applied by conventional spray equipment. Such aqueous preparations may
5 contain varying amounts of a compound of formula (I) (for example 0.0001 to 10%, by weight) depending upon the purpose for which they are to be used.

A compound of formula (I) may be used in mixtures with fertilisers (for example nitrogen-, potassium- or phosphorus-containing fertilisers). Suitable formulation types include granules of fertiliser. The mixtures suitably contain up to 25% by weight of the
10 compound of formula (I).

The invention therefore also provides a fertiliser composition comprising a fertiliser and a compound of formula (I).

The compositions of this invention may contain other compounds having biological activity, for example micronutrients or compounds having fungicidal activity or which
15 possess plant growth regulating, herbicidal, insecticidal, nematocidal or acaricidal activity.

The compound of formula (I) may be the sole active ingredient of the composition or it may be admixed with one or more additional active ingredients such as a pesticide, fungicide, synergist, herbicide or plant growth regulator where appropriate. An additional active ingredient may: provide a composition having a broader spectrum of activity or
20 increased persistence at a locus; synergise the activity or complement the activity (for example by increasing the speed of effect or overcoming repellency) of the compound of formula (I); or help to overcome or prevent the development of resistance to individual components. The particular additional active ingredient will depend upon the intended utility of the composition. Examples of suitable pesticides include the following:

- 25 a) Pyrethroids, such as permethrin, cypermethrin, fenvalerate, esfenvalerate, deltamethrin, cyhalothrin (in particular lambda-cyhalothrin), bifenthrin, fenpropathrin, cyfluthrin, tefluthrin, fish safe pyrethroids (for example ethofenprox), natural pyrethrin, tetramethrin, s-bioallethrin, fenfluthrin, prallethrin or 5-benzyl-3-furylmethyl-(E)-(1R,3S)-2,2-dimethyl-3-(2-oxothiolan-3-ylidenemethyl)cyclopropane carboxylate;
- 30 b) Organophosphates, such as, profenofos, sulprofos, acephate, methyl parathion, azinphos-methyl, demeton-s-methyl, heptenophos, thiometon, fenamiphos, monocrotophos, profenofos, triazophos, methamidophos, dimethoate, phosphamidon, malathion, chlorpyrifos,

- phosalone, terbufos, fensulfothion, fonofos, phorate, phoxim, pirimiphos-methyl, pirimiphos-ethyl, fenitrothion, fosthiazate or diazinon;
- c) Carbamates (including aryl carbamates), such as pirimicarb, triazamate, cloethocarb, carbofuran, furathiocarb, ethiofencarb, aldicarb, thiofurox, carbosulfan, bendiocarb,
- 5 fenobucarb, propoxur, methomyl or oxamyl;
- d) Benzoyl ureas, such as diflubenzuron, triflumuron, hexaflumuron, flufenoxuron or chlorfluazuron;
- e) Organic tin compounds, such as cyhexatin, fenbutatin oxide or azocyclotin;
- f) Pyrazoles, such as tebufenpyrad and fenpyroximate;
- 10 g) Macrolides, such as avermectins or milbemycins, for example abamectin, emamectin benzoate, ivermectin, milbemycin, spinosad or azadirachtin;
- h) Hormones or pheromones;
- i) Organochlorine compounds such as endosulfan, benzene hexachloride, DDT, chlordane or dieldrin;
- 15 j) Amidines, such as chlordimeform or amitraz;
- k) Fumigant agents, such as chloropicrin, dichloropropane, methyl bromide or metam;
- l) Chloronicotinyl compounds such as imidacloprid, thiacloprid, acetamiprid, nitenpyram or thiamethoxam;
- m) Diacylhydrazines, such as tebufenozide, chromafenozide or methoxyfenozide;
- 20 n) Diphenyl ethers, such as diofenolan or pyriproxifen;
- o) Indoxacarb;
- p) Chlorfenapyr; or
- q) Pymetrozine.

In addition to the major chemical classes of pesticide listed above, other pesticides

25 having particular targets may be employed in the composition, if appropriate for the intended utility of the composition. For instance, selective insecticides for particular crops, for example stemborer specific insecticides (such as cartap) or hopper specific insecticides (such as buprofezin) for use in rice may be employed. Alternatively insecticides or acaricides specific for particular insect species/stages may also be included in the compositions (for

30 example acaricidal ovo-larvicides, such as clofentezine, flubenzimine, hexythiazox or tetradifon; acaricidal motilicides, such as dicofol or propargite; acaricides, such as

bromopropylate or chlorobenzilate; or growth regulators, such as hydramethylnon, cyromazine, methoprene, chlorfluazuron or diflubenzuron).

Examples of fungicidal compounds which may be included in the composition of the invention are (*E*)-*N*-methyl-2-[2-(2,5-dimethylphenoxy)methyl]phenyl]-2-methoxy-
5 iminoacetamide (SSF-129), 4-bromo-2-cyano-*N,N*-dimethyl-6-trifluoromethylbenzimidazole-1-sulphonamide, α -[*N*-(3-chloro-2,6-xylyl)-2-methoxyacetamido]- γ -butyrolactone, 4-chloro-2-cyano-*N,N*-dimethyl-5-*p*-tolylimidazole-1-sulfonamide (IKF-916, cyamidazosulfamid), 3-5-dichloro-*N*-(3-chloro-1-ethyl-1-methyl-2-oxopropyl)-4-methylbenzamide (RH-7281, zoxamide), *N*-allyl-4,5,-dimethyl-2-trimethylsilylthiophene-3-carboxamide (MON65500), *N*-
10 (1-cyano-1,2-dimethylpropyl)-2-(2,4-dichlorophenoxy)propionamide (AC382042), *N*-(2-methoxy-5-pyridyl)-cyclopropane carboxamide, acibenzolar (CGA245704), alanycarb, aldimorph, anilazine, azaconazole, azoxystrobin, benalaxyl, benomyl, biloxazol, bitertanol, blasticidin S, bromuconazole, bupirimate, captafol, captan, carbendazim, carbendazim
chlorhydrate, carboxin, carpropamid, carvone, CGA41396, CGA41397, chinomethionate,
15 chlorothalonil, chlorozolate, clozylacon, copper containing compounds such as copper oxychloride, copper oxyquinolate, copper sulphate, copper tallate and Bordeaux mixture, cymoxanil, cyproconazole, cyprodinil, debacarb, di-2-pyridyl disulphide 1,1'-dioxide, dichlofluanid, diclomezine, dicloran, diethofencarb, difenoconazole, difenzoquat, diflumetorim, *O,O*-di-*iso*-propyl-*S*-benzyl thiophosphate, dimefluazole, dimetconazole,
20 dimethomorph, dimethirimol, diniconazole, dinocap, dithianon, dodecyl dimethyl ammonium chloride, dodemorph, dodine, doguadine, edifenphos, epoxiconazole, ethirimol, ethyl(*Z*)-*N*-benzyl-*N*[(methyl(methyl-thioethylideneaminooxycarbonyl)amino)thio]- β -alaninate, etridiazole, famoxadone, fenamidone (RPA407213), fenarimol, fenbuconazole, fenfuram, fenhexamid (KBR2738), fenciclonil, fenpropidin, fenpropimorph, fentin acetate,
25 fentin hydroxide, ferbam, ferimzone, fluazinam, fludioxonil, flumetover, fluoroimide, fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fuberidazole, furalaxyl, furametpyr, guazatine, hexaconazole, hydroxyisoxazole, hymexazole, imazalil, imibenconazole, iminoctadine, iminoctadine triacetate, ipconazole, iprobenfos, iprodione, iprovalicarb (SZX0722), isopropanyl butyl carbamate, isoprothiolane, kasugamycin, kresoxim-methyl,
30 LY186054, LY211795, LY248908, mancozeb, maneb, mefenoxam, mepanipyrim, mepronil, metalaxyl, metconazole, metiram, metiram-zinc, metominostrobin, myclobutanil, neoasozin, nickel dimethyldithiocarbamate, nitrothal-*iso*propyl, nuarimol, ofurace, organomercury

compounds, oxadixyl, oxasulfuron, oxolinic acid, oxpoconazole, oxycarboxin, pefurazoate, penconazole, pencycuron, phenazin oxide, phosetyl-Al, phosphorus acids, phthalide, picoxystrobin (ZA1963), polyoxin D, polyram, probenazole, prochloraz, procymidone, propamocarb, propiconazole, propineb, propionic acid, pyrazophos, pyrifenox, pyrimethanil, 5 pyroquilon, pyroxyfur, pyrrolnitrin, quaternary ammonium compounds, quinomethionate, quinoxifen, quintozone, sipconazole (F-155), sodium pentachlorophenate, spiroxamine, streptomycin, sulphur, tebuconazole, tecloftalam, tecnazene, tetraconazole, thiabendazole, thifluzamid, 2-(thiocyanomethylthio)benzothiazole, thiophanate-methyl, thiram, timibenconazole, tolclofos-methyl, tolylfluanid, triadimefon, triadimenol, triazbutyl, 10 triazoxide, tricyclazole, tridemorph, trifloxystrobin (CGA279202), triforine, triflumizole, triticonazole, validamycin A, vapam, vinclozolin, zineb and ziram.

The compounds of formula (I) may be mixed with soil, peat or other rooting media for the protection of plants against seed-borne, soil-borne or foliar fungal diseases.

Examples of suitable synergists for use in the compositions include piperonyl 15 butoxide, sesamex, safroxan and dodecyl imidazole.

Suitable herbicides and plant-growth regulators for inclusion in the compositions will depend upon the intended target and the effect required.

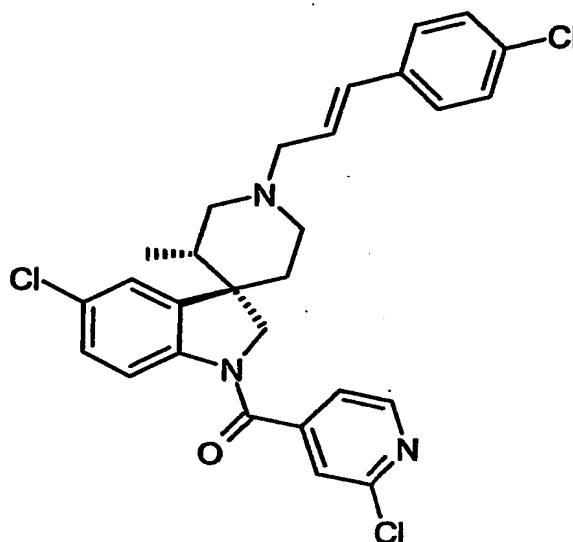
An example of a rice selective herbicide which may be included is propanil. An example of a plant growth regulator for use in cotton is PIX™.

20 Some mixtures may comprise active ingredients which have significantly different physical, chemical or biological properties such that they do not easily lend themselves to the same conventional formulation type. In these circumstances other formulation types may be prepared. For example, where one active ingredient is a water insoluble solid and the other a water insoluble liquid, it may nevertheless be possible to disperse each active ingredient in 25 the same continuous aqueous phase by dispersing the solid active ingredient as a suspension (using a preparation analogous to that of an SC) but dispersing the liquid active ingredient as an emulsion (using a preparation analogous to that of an EW). The resultant composition is a suspoemulsion (SE) formulation.

The invention is illustrated by the following Examples:

EXAMPLE 1

This Example illustrates the preparation of compound I.49; 5-chloro-1-(2-chloropyridin-4-yl)carbonyl-1'-[*trans*-3-(4-chlorophenyl)allyl]-3'-methyl-spiro[indoline-3,4'-piperidine]



Step A: Potassium t-butoxide (1.56 g) was added portionwise to a stirred suspension of methoxymethyltriphenylphosphonium chloride (4.76 g) in tetrahydrofuran (30 ml) at 0°C under argon. The resulting orange mixture was stirred at 0°C for 30 min., then 1-(4-chlorocinnamyl)-3-methyl-piperidin-4-one (1.83 g, prepared by alkylation of 3-methyl-piperidin-4-one [CAS No 5773-58-0] with 4-chloro-cinnamyl chloride) dissolved in a minimum volume of tetrahydrofuran was added dropwise and the resulting solution was stirred at room temperature for 1 hour, poured into water and extracted twice with ether. The combined organic layers were dried over sodium sulphate and concentrated *in vacuo*. The residue was purified by silica gel chromatography (cyclohexane:ethyl acetate 75:25) to afford 1-(4-chlorocinnamyl)-4-[1-methoxy-methylidene]-3-methyl-piperidine as a mixture of diastereoisomers. MS (ES+) 292/294 (M+H⁺).

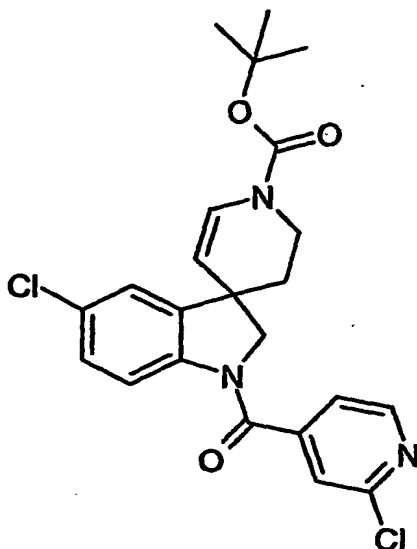
Step B: A mixture of 1-(4-chlorocinnamyl)-4-[1-methoxy-methylidene]-3-methyl-piperidine (1.25 g) and 4-chlorophenylhydrazine hydrochloride (0.85 g) in chloroform (43 ml) was treated with trifluoroacetic acid (4.3 ml) and heated at reflux under argon for 12 hours. The reaction mixture was cooled to room temperature, triethylsilane (3.1 ml) was

added and the solution refluxed for 2 hours. The reaction mixture was cooled to room temperature, diluted with dichloromethane, neutralised with aqueous ammonium hydroxide, washed with brine, dried (sodium sulphate) and concentrated. The dark residue was purified by silica gel chromatography (cyclohexane:ethyl acetate 1:1 + 0.5% triethylamine) to afford 5-chloro-1'-[*trans*-3-(4-chlorophenyl)allyl]-3'-methyl-spiro[indoline-3,4'-piperidine] (1.63 g). ¹H NMR (600 MHz, CDCl₃) 0.69 (d, J = 9 Hz, 3H), 1.89 (m, 2H), 2.0 (m, 3H), 2.86 (dd, 1H), 2.95 (m, 1H), 3.17 (d, J = 7.2 Hz, 2H), 3.31 (d, J = 12 Hz, 1H), 3.58 (d, J = 12 Hz, 1H), 3.65 (m, 1H), 6.30 (dt, J = 7.2, 18.0 Hz, 1H), 6.49 (d, J = 8.0 Hz, 1H), 6.50 (d, J = 7.0 Hz, 1H), 2H), 6.94 (d, J = 0.9 Hz, 1H), 6.97 (dd, J = 7.0, 0.9 Hz, 1H), 7.25-7.33 (m, 4H); MS (ES+) 387/389 (M+H⁺).

Step C: To a solution of 5-chloro-1'-[*trans*-3-(4-chlorophenyl)allyl]-3'-methyl-spiro[indoline-3,4'-piperidine] obtained in Step B (210 mg) and triethylamine (0.23 ml) in dichloromethane (10 ml) at 0°C was added 2-chloro-isonicotinoyl chloride (176 mg) and the resulting solution was kept at 0°C for 30 min., diluted with dichloromethane, washed with diluted aqueous sodium bicarbonate, dried (sodium sulphate) and concentrated. Silica gel chromatography of the residue (cyclohexane:ethyl acetate 1:1) afforded the title compound as a slight yellow solid (200 mg); M.p. 91-93°C; MS (ES+) 526/528 (M+H⁺)

EXAMPLE 2

This Example illustrates the preparation of 5-chloro-1-(2-chloropyridin-4-yl)carbonyl-spiro[indoline-3,4'-(1',2',3',4'-tetrahydropyridine)]-1' carboxylic acid tert-butyl ester



Step A: Triphenylphosphine (5.16 g) was dissolved in tetrahydrofuran (130 ml) and the solution was cooled to 0°C under argon. Diisopropylazodicarboxylate (3.82 ml) was added dropwise over 10 min and the resulting mixture was stirred at 0°C for 20 min (formation of a white precipitate). N-(4-Chloro-2-iodo-phenyl)-2,2,2-trifluoro-acetamide (5.5 g) was added as a solid, followed by 4-hydroxymethyl-3,6-dihydro-2H-pyridine-1-carboxylic acid tert-butyl ester (*J. Org. Chem.* 2001, 66, 5545-5551, 3.4 g) dissolved in a minimum volume of tetrahydrofuran. The reaction mixture was allowed to warm to room temperature and stirred for 12 hours. The solution was then concentrated *in vacuo* and the residue subjected to silica gel chromatography (cyclohexane:ethyl acetate 9:1) to afford 4-[[[(4-chloro-2-iodo-phenyl)-(2,2,2-trifluoro-acetyl)-amino]-methyl]-3,6-dihydro-2H-pyridine-1-carboxylic acid tert-butyl ester (4.7 g). ¹H NMR (600 MHz, CDCl₃) 1.5 (s, 9H), 2.20 (m, 2H), 3.49 (m, 1H), 3.50 (d, J = 17 Hz, 1H), 3.55 (m, 1H), 3.8-3.9 (m, 2H), 5.02 (d, J = 17 Hz, 1H), 5.40 (s, 1H), 7.0 (m, 1H), 7.38 (dd, 1H), 7.92 (d, 1H); MS (ES⁺) 445/447 (M+H⁺-CO₂-isobutene), 486/488 (M+H⁺-isobutene).

Step B: In a dried, argon purged flask, 4-[[[(4-chloro-2-iodo-phenyl)-(2,2,2-trifluoro-acetyl)-amino]-methyl]-3,6-dihydro-2H-pyridine-1-carboxylic acid tert-butyl ester obtained in Step A (3.55 g) was dissolved in dimethylformamide (55 ml); triethylamine (2.3 ml), tetrabutylammonium bromide (2.5 g) and palladium(II) acetate (0.22 g) were successively added and the solution was heated at 80°C for 3 hours. After cooling to room temperature, the reaction mixture was diluted with ethyl acetate, washed with brine, dried over sodium sulphate and concentrated *in vacuo*. The crude residue was dissolved in methanol (140 ml)

and water (30 ml), placed under argon and potassium carbonate (6.8 g) was added. The reaction mixture was stirred for 1 hour at room temperature, the mixture was filtered and the filtrate concentrated *in vacuo*. The residue was diluted with ethyl acetate, washed with brine, dried (sodium sulphate) and concentrated *in vacuo*. Silica gel chromatography of the residue

(cyclohexane:ethyl acetate 8:2) afforded 5-chloro-spiro[indoline-3,4'-(1',2',3',4'-

tetrahydropyridine)]-1' carboxylic acid tert-butyl ester (1.3 g) as a pale yellow powder.

M.p. 50-51°C; ¹H NMR (600 MHz, CDCl₂CDCl₂, 80°C) 1.54 (s, 9H), 1.83 (m, 1H), 1.99 (m, 1H), 3.36 (d, J = 11.4 Hz, 1H), 3.50 (d, J = 11.4 Hz, 1H), 3.51 (m, 1H), 3.75 (brs, 1H, NH),

3.78 (m, 1H), 4.81 (d, J = 8.6 Hz, 1H), 6.57 (d, J = 10.2 Hz, 1H), 6.98 (d, J = 2.4 Hz, 1H),

7.02 (m, 1H), 7.03 (dd, J = 10.2, 2.4 Hz, 1H); ¹³C NMR (125 MHz, CDCl₂CDCl₂, 80°C)

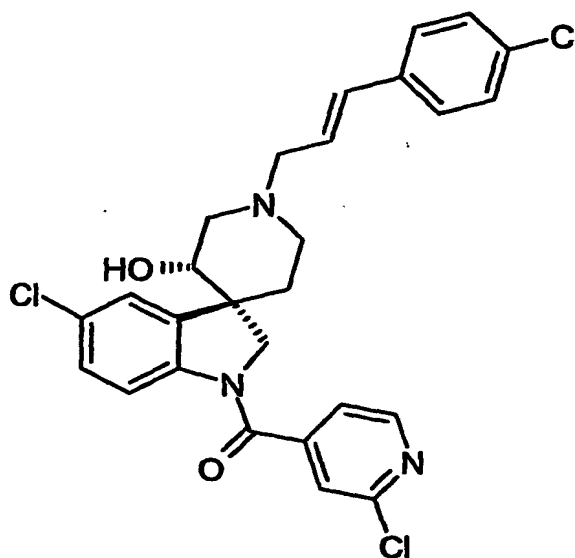
selected data 28.2, 33.2, 39.1, 60.6, 108.5, 110.2, 123.5, 126.4, 127.5; MS (ES+) 221/223 (M+H⁺-CO₂-isobutene), 265/267 (M+H⁺-isobutene); 321/323 (M+H⁺).

Step C: 5-Chloro-spiro[indoline-3,4'-(1',2',3',4'-tetrahydropyridine)]-1' carboxylic acid tert-butyl ester (274 mg) was acylated in dichloromethane (10 ml) with 2-

chloroisonicotinoyl chloride (250 mg) in the presence of triethylamine (0.48 ml) using the method described in Example 1 Step C to give the title compound (360 mg). MS (ES+) 360/362 (M+H⁺-CO₂-isobutene), 404/406 (M+H⁺-isobutene).

EXAMPLE 3

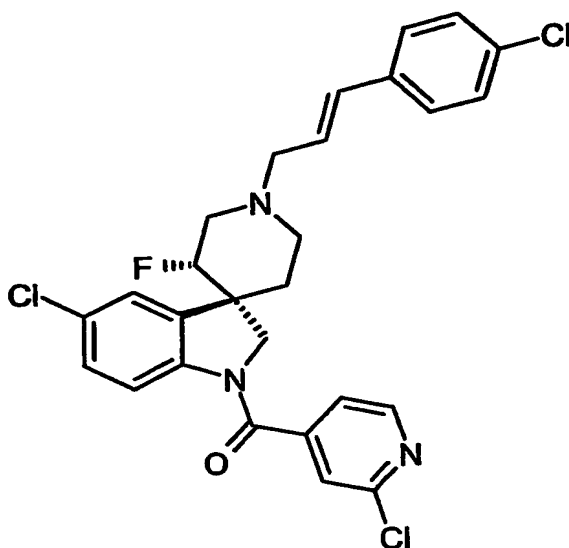
This Example illustrates the preparation of compound II.49, 5-chloro-1-(2-chloropyridin-4-yl)carbonyl-1'-[*trans*-3-(4-chlorophenyl)allyl]-3'-hydroxy-spiro[indoline-3,4'-piperidine]



Borane-methylsulfide complex (1M in dichloromethane, 1.05 ml) was added dropwise under argon to a solution of 5-chloro-1-(2-chloropyridin-4-yl)carbonyl-spiro[indoline-3,4'-(1',2',3',4'-tetrahydropyridine)]-1' carboxylic acid tert-butyl ester
5 (Example 2, 350 mg) in tetrahydrofuran (15 ml) at room temperature and the resulting solution was stirred at room temperature for 18 hours. 3N NaOH (0.9 ml) was added, followed by 30% aqueous hydrogen peroxide (0.9 ml) and the resulting mixture was stirred at room temperature for 1 hour, poured into water, extracted twice with ethyl acetate, dried (sodium sulphate) and concentrated *in vacuo*. The major product was isolated by silica gel
10 chromatography (cyclohexane:ethyl acetate 7:3) to give 125 mg of a solid. The latter was dissolved in dichloromethane (10 ml) and treated with trifluoroacetic acid (1 ml) at room temperature for 2 hours. The solution was partitioned between water and dichloromethane, the organic layer was neutralised with saturated aqueous sodium bicarbonate, dried (sodium sulphate) and concentrated *in vacuo*. The residue was dissolved in acetonitrile (5 ml) and
15 treated with diisopropylethylamine (0.065 ml) and 4-chlorocinnamyl bromide (58 mg) for 12 hours at room temperature under argon. Standard aqueous work-up afforded a residue which was purified by flash chromatography (silica gel, ethyl acetate:methanol 98:2) to give the title product (51 mg) as a colorless solid. M.p. 110°C; MS (ES+) 528/530/532/533 (M+H⁺).

EXAMPLE 4

This Example illustrates the preparation of compound III.49, 5-chloro-1-(2-chloropyridin-4-yl)carbonyl-1'-[*trans*-3-(4-chlorophenyl)allyl]-3'-fluoro-spiro[indoline-3,4'-piperidine]

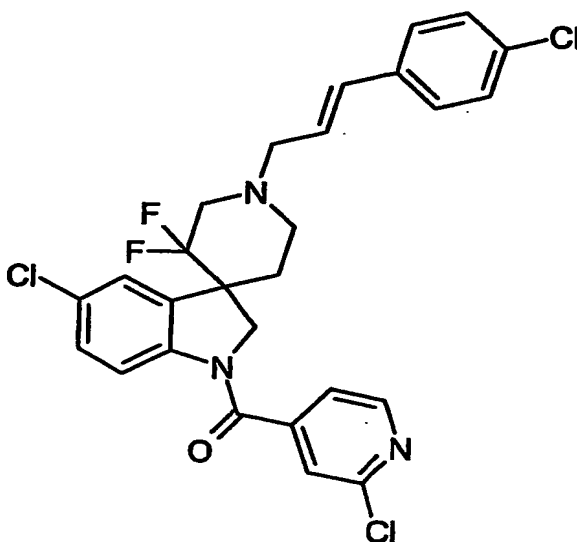


5 [1-(Chloromethyl)-4-fluoro-1,4-diazoniabicyclo[2.2.2]octane bis(tetrafluoroborate)] (SelectfluorTM, 266 mg) was added to a solution of 5-chloro-1-(2-chloropyridin-4-yl)carbonyl-spiro[indoline-3,4'-(1',2',3',4'-tetrahydropyridine)]-1' carboxylic acid tert-butyl ester (Example 2, 345 mg) in dimethylformamide (20 ml) at room temperature and the
 10 resulting solution was stirred at 70°C for 1 hour, cooled to room temperature, poured into water (50 ml) and extracted twice with ethyl acetate; the combined organic layers were washed with brine, dried (sodium sulphate), concentrated *in vacuo* and the residue purified by silica gel chromatography (cyclohexane:ethyl acetate 8.2) to afford 5-chloro-1-(2-chloropyridin-4-yl)carbonyl-3'-fluoro-2'-hydroxy-spiro[indoline-3,4'-piperidine] 1'
 15 carboxylic acid tert-butyl ester (200 mg) as a colorless solid; MS (ES+) 496/498 (M+H⁺). This product was dissolved in dichloromethane (10 ml) and treated successively with triethylsilane (0.3 ml) and trifluoroacetic acid (0.57 ml); the solution was stirred under argon for 12 hrs, diluted with dichloromethane, neutralised with aqueous sodium bicarbonate, dried (sodium sulphate) and concentrated *in vacuo*. The residue was dissolved in acetonitrile (10
 20 ml) and treated with diisopropylethylamine (0.1 ml) and 4-chlorocinnamyl chloride (71 mg) for 12 hours at reflux under argon. Standard aqueous work-up afforded a residue which was

purified by flash chromatography (silica gel, cyclohexane:ethyl acetate 7:3) to give the title product (111 mg) as a colorless solid. M.p. 96-97°C; MS (ES+) 530/532/534 (M+H⁺).

EXAMPLE 5

5 This Example illustrates the preparation of compound IV.49, 5-chloro-1-(2-chloropyridin-4-yl)carbonyl-1'-[*trans*-3-(4-chlorophenyl)allyl]-3',3'-difluoro-spiro[indoline-3,4'-piperidine]



10 [1-(Chloromethyl)-4-fluoro-1,4-diazoniabicyclo[2.2.2]octane bis(tetrafluoroborate)] (SelectfluorTM, 1.05 g) was added to a solution of 5-chloro-1-(2-chloropyridin-4-yl)carbonyl-spiro[indoline-3,4'-(1',2',3',4'-tetrahydropyridine)]-1' carboxylic acid tert-butyl ester (Example 2, 345 mg) in dimethylformamide (15 ml) at room temperature and the resulting solution was stirred at 80°C for 2 hours, cooled to room temperature, poured into water and
15 extracted twice with ethyl acetate; the combined organic layers were washed with brine, dried (sodium sulphate), concentrated *in vacuo* and the residue purified by silica gel chromatography (cyclohexane:ethyl acetate 65:35) to afford 5-chloro-1-(2-chloropyridin-4-yl)carbonyl-3',3'-difluoro-2'-hydroxy-spiro[indoline-3,4'-piperidine] 1' carboxylic acid tert-butyl ester (217 mg) as a colorless solid; MS (ES+) 514/516 (M+H⁺). This product was
20 dissolved in dichloromethane (14 ml) and treated successively with triethylsilane (0.34 ml) and trifluoroacetic acid (0.64 ml); the solution was stirred under argon for 12 hrs, diluted with dichloromethane, neutralised with aqueous sodium bicarbonate, dried (sodium sulphate)

and concentrated *in vacuo*. The residue was dissolved in acetonitrile (10 ml) and treated with diisopropylethylamine (0.1 ml) and 4-chlorocinnamyl chloride (71 mg) for 10 hours at reflux under argon. Standard aqueous work-up afforded a residue which was purified by flash chromatography (silica gel, cyclohexane:ethyl acetate 7:3) to give the title product I.4 (118 mg) as a colorless solid. M.p. 100-101°C; ¹H NMR (600 MHz, CDCl₂CDCl₂, 80°C) 1.86 (m, 1H), 2.20 (m, 1H), 2.41 (m, 1H), 2.45 (m, 1H), 3.02 (d, J = 12 Hz, 1H), 3.24 (m, 3H), 3.85 (d, J = 12 Hz, 1H), 4.24 (m, 1H), 6.24 (dd, J = 12 Hz, 7.2 Hz, 1H), 6.6 (d, J = 12 Hz, 1H), 7.27-7.47 (m, 9H), 8.57 (d, J = 6 Hz, 1H); ¹³C NMR (125 MHz, CDCl₂CDCl₂, 80°C) selected data 34.5, 48.4, 55.5, 56.8, 59.3, 119.4, 120.3, 121.5, 125.9, 126.1, 127.5, 128.6, 128.9, 129.9, 132.2, 150.6; MS (ES+) 548 (M+H⁺).

EXAMPLE 6

This Example illustrates the pesticidal/insecticidal properties of compounds of formula (I).

Test against were performed as follows:

15 *Spodoptera littoralis* (Egyptian cotton leafworm)

Cotton leaf discs were placed on agar in a 24-well microtiter plate and sprayed with test solutions at an application rate of 200 ppm. After drying, the leaf discs were infested with 5 L₁ larvae. The samples were checked for mortality, repellent effect, feeding behaviour, and growth regulation 3 days after treatment (DAT). The following compounds gave at least 80%

20 control of *Spodoptera littoralis*:

II-49.

Heliothis virescens (Tobacco budworm):

25 Eggs (0-24 h old) were placed in 24-well microtiter plate on artificial diet and treated with test solutions at an application rate of 200 ppm by pipetting. After an incubation period of 4 days, samples were checked for egg mortality, larval mortality, and growth regulation. The following compounds gave at least 80% control of *Heliothis virescens*:

I-49, II-49, III-49, IV-49.

30

Plutella xylostella (Diamond back moth):

24-well microtiter plate (MTP) with artificial diet was treated with test solutions at an application rate of 18.2 ppm by pipetting. After drying, the MTP's were infested with larvae (L2)(10-15 per well). After an incubation period of 5 days, samples were checked for larval mortality, antifeedant and growth regulation. The following compounds gave at least 80%

5 control of *Plutella xylostella*:

II-49, III-49, IV-49.

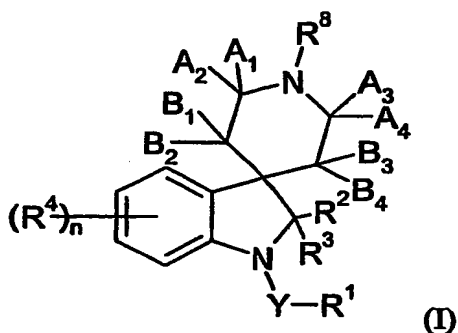
Aedes aegypti (Yellow fever mosquito):

10 10-15 *Aedes* larvae (L2) together with a nutrition mixture are placed in 96-well microtiter plates. Test solutions at an application rate of 2ppm are pipetted into the wells. 2 days later, insects were checked for mortality and growth inhibition. The following compounds gave at least 80% control of *Aedes aegypti*

I-49, II-49, III-49.

CLAIMS

1. A compound of formula I



wherein Y is a single bond, C=O, C=S or S(O)_m where m is 0, 1 or 2; R¹ is hydrogen, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted alkylcarbonyl, aminocarbonyl, optionally substituted alkylaminocarbonyl, optionally substituted dialkylaminocarbonyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted heterocycloxy, cyano, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, formyl, optionally substituted heterocyclyl, optionally substituted alkylthio, NO or NR¹³R¹⁴ where R¹³ and R¹⁴ are independently hydrogen, COR¹⁵, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclyl or R¹³ and R¹⁴ together with the N atom to which they are attached form a group -N=C(R¹⁶)-NR¹⁷R¹⁸; R¹⁵ is H, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted aryl, optionally substituted aryloxy optionally substituted heteroaryl, optionally substituted heteroaryloxy or NR¹⁹R²⁰; R¹⁶, R¹⁷ and R¹⁸ are each independently H or lower alkyl; R¹⁹ and R²⁰ are independently optionally substituted alkyl, optionally substituted aryl or optionally substituted heteroaryl; R² and R³ are independently hydrogen, halogen, cyano, optionally substituted alkyl, optionally substituted alkoxy or optionally substituted aryl;

each R^4 is independently halogen, nitro, cyano, optionally substituted C_{1-8} alkyl, optionally substituted C_{2-6} alkenyl, optionally substituted C_{2-6} alkynyl, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl, optionally substituted alkylaminocarbonyl, optionally substituted dialkylaminocarbonyl, optionally substituted C_{3-7} cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclyl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted alkylthio or $R^{21}R^{22}N$ where R^{21} and R^{22} are, independently, hydrogen, C_{1-8} alkyl, C_{3-7} cycloalkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, C_{3-7} cycloalkyl(C_{1-4})alkyl, C_{2-6} haloalkyl, C_{1-6} alkoxy(C_{1-6})alkyl, C_{1-6} alkoxycarbonyl or R^{21} and R^{22} together with the N atom to which they are attached form a five, six or seven-membered heterocyclic ring which may contain one or two further heteroatoms selected from O, N or S and which may be optionally substituted by one or two C_{1-6} alkyl groups, or 2 adjacent groups R^4 together with the carbon atoms to which they are attached form a 4, 5, 6, or 7 membered carbocyclic or heterocyclic ring which may be optionally substituted by halogen; n is 0, 1, 2, 3 or 4;

R^8 is optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl or optionally substituted alkenylcarbonyl;

$A_1, A_2, A_3, A_4, B_1, B_2, B_3$ and B_4 are independently hydrogen, halogen, hydroxy, cyano, optionally substituted C_{1-8} alkyl, optionally substituted C_{2-6} alkenyl, optionally substituted C_{2-6} alkynyl, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl, optionally substituted alkylaminocarbonyl, optionally substituted dialkylaminocarbonyl, optionally substituted C_{3-7} cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclyl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted alkylthio, optionally substituted arylthio or $R^{23}R^{24}N$ where R^{23} and R^{24} are, independently, hydrogen, C_{1-8} alkyl, C_{3-7} cycloalkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, C_{3-7} cycloalkyl(C_{1-4})alkyl, C_{2-6} haloalkyl, C_{1-6} alkoxy(C_{1-6})alkyl, C_{1-6} alkoxycarbonyl or R^{23} and R^{24} together with the N atom to which they are

attached form a five, six or seven-membered heterocyclic ring which may contain one or two further heteroatoms selected from O, N or S and which may be optionally substituted by one or two C₁₋₆ alkyl groups,

or A₁ and A₂ together are =O,

or A₃ and A₄ together are =O,

or B₁ and B₂ together are =O,

or B₃ and B₄ together are =O,

or A₁ together with B₁ is a bond,

or A₃ together with B₃ is a bond,

or A₁ together with A₂ form with the carbon to which they are bound a three- to seven-membered ring, and may be saturated or unsaturated, and that may contain one or two hetero atoms selected from the group consisting of N, O and S, and which may be optionally substituted by one or two C₁₋₆ alkyl groups;

or A₁ together with B₁ form with the carbon to which they are bound a three- to seven-membered ring, and may be saturated or unsaturated, and that may contain one or two hetero atoms selected from the group consisting of N, O and S, and which may be optionally substituted by one or two C₁₋₆ alkyl groups;

or B₁ together with B₂ form with the carbon to which they are bound a three- to seven-membered ring, and may be saturated or unsaturated, and that may contain one or two hetero atoms selected from the group consisting of N, O and S, and which may be optionally substituted by one or two C₁₋₆ alkyl groups;

or A₁ together with A₃ form a group -CH₂-, -CH=CH- or -CH₂CH₂;

or B₁ together with B₃ form a group -CH₂-, -CH=CH- or -CH₂CH₂;

or salts or N-oxides thereof provided that when B₁, B₂, B₃ and B₄ are all H, either both A₁ and A₂ are different from H or both A₃ and A₄ are different from H.

2. An insecticidal acaricidal and nematocidal composition comprising an insecticidally, acaricidally or nematocidally effective amount of a compound of formula I as defined in claim 1.

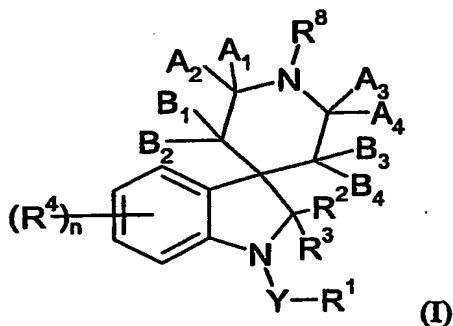
3. A method of combating and controlling insects, acarines, nematodes or molluscs which comprises applying to a pest, to a locus of a pest, or to a plant susceptible to

attack by a pest an insecticidally, acaricidally, nematocidally or molluscicidally effective amount of a compound of formula I

ABSTRACT
CHEMICAL COMPOUNDS

An insecticidal compound of formula I

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- 10 wherein Y is a single bond, C=O, C=S or S(O)_m where m is 0, 1 or 2; R¹, R², R³, R⁴, R⁸, A₁, A₂, A₃, A₄, B₁, B₂, B₃ and B₄ are specified organic groups or salts or N-oxides thereof; compositions containing them and their using in controlling insects, acarines, nematodes or molluscs.

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